

10/523,753

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LOGINID:sssptal611bxv

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
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NEWS 4 DEC 14 2006 MeSH terms loaded in MEDLINE/LMEDLINE  
NEWS 5 DEC 14 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER  
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NEWS 7 DEC 21 IPC search and display fields enhanced in CA/CAPLUS with the  
IPC reform  
NEWS 8 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/  
USPAT2  
NEWS 9 JAN 13 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB  
NEWS 10 JAN 13 New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to  
INPADOC  
NEWS 11 JAN 17 Pre-1988 INPI data added to MARPAT  
NEWS 12 JAN 17 IPC 8 in the WPI family of databases including WPIFV  
NEWS 13 JAN 30 Saved answer limit increased  
NEWS 14 JAN 31 Monthly current-awareness alert (SDI) frequency  
added to TULSA  
NEWS 15 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist  
visualization results  
NEWS 16 FEB 22 Status of current WO (PCT) information on STN  
NEWS 17 FEB 22 The IPC thesaurus added to additional patent databases on STN  
NEWS 18 FEB 22 Updates in EPFULL; IPC 8 enhancements added  
NEWS 19 FEB 27 New STN AnaVist pricing effective March 1, 2006  
NEWS 20 FEB 28 MEDLINE/LMEDLINE reload improves functionality  
NEWS 21 FEB 28 TOXCENTER reloaded with enhancements  
NEWS 22 FEB 28 REGISTRY/ZREGISTRY enhanced with more experimental spectral  
property data  
NEWS 23 MAR 01 INSPEC reloaded and enhanced  
NEWS 24 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes  
NEWS 25 MAR 08 X.25 communication option no longer available after June 2006  
  
NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.  
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT  
<http://download.cas.org/express/v8.0-Discover/>  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 08:13:47 ON 12 MAR 2006 ✓

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:13:53 ON 12 MAR 2006  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 MAR 2006 HIGHEST RN 876462-31-6  
DICTIONARY FILE UPDATES: 10 MAR 2006 HIGHEST RN 876462-31-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

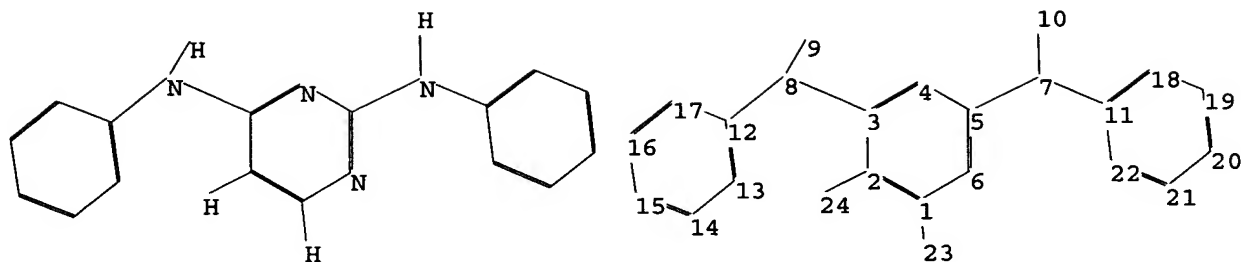
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10523753.str

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chain nodes :

7 8 9 10 23 24

ring nodes :

1 2 3 4 5 6 11 12 13 14 15 16 17 18 19 20 21 22

chain bonds :

1-23 2-24 3-8 5-7 7-10 7-11 8-9 8-12

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-18 11-22 12-13 12-17 13-14 14-15 15-16  
16-17 18-19 19-20 20-21 21-22

exact/norm bonds :

3-8 5-7 7-11 8-12

exact bonds :

1-23 2-24 7-10 8-9

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-18 11-22 12-13 12-17 13-14 14-15 15-16  
16-17 18-19 19-20 20-21 21-22

isolated ring systems :

containing 1 : 11 : 12 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:Atom 22:Atom 23:CLASS 24:CLASS

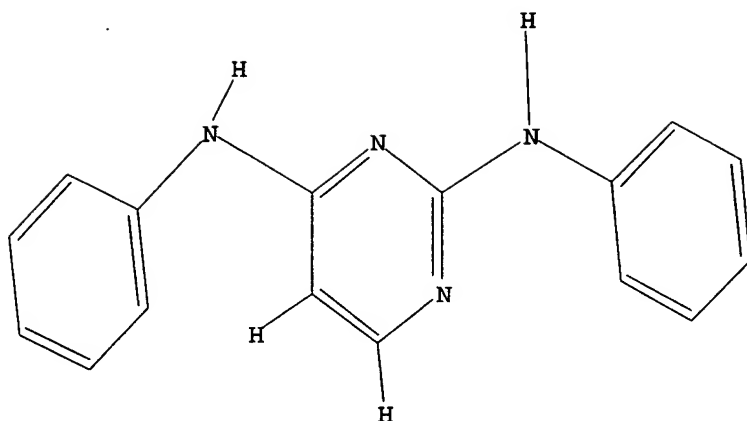
L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

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Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 08:14:25 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 474 TO ITERATE

100.0% PROCESSED 474 ITERATIONS

28 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 8174 TO 10786

PROJECTED ANSWERS: 243 TO 877

L2 28 SEA SSS SAM L1

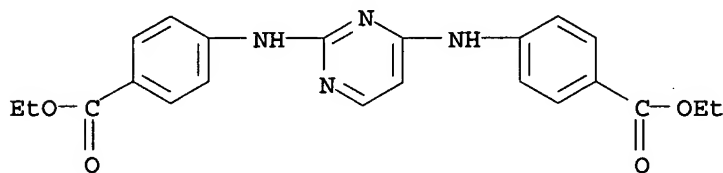
=> d scan

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

IN Benzoic acid, 4,4'-(2,4-pyrimidinediylldiimino)di-, diethyl ester, sulfate  
(1:1) (8CI)

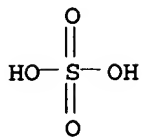
MF C22 H22 N4 O4 . H2 O4 S

CM 1



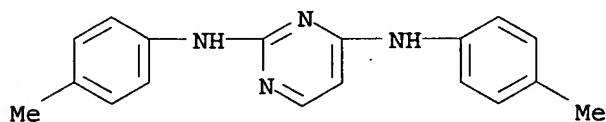
CM 2

10/523,753



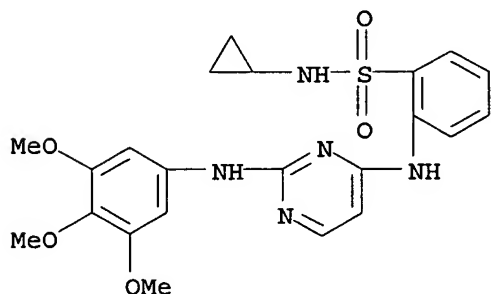
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):27

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2,4-Pyrimidinediamine, N,N'-bis(4-methylphenyl) - (9CI)  
MF C18 H18 N4



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

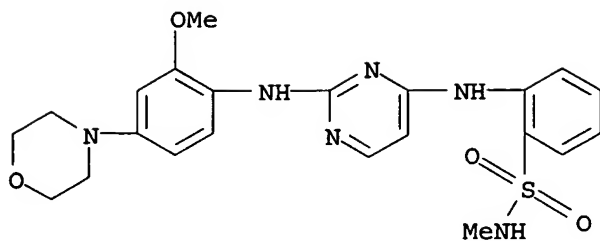
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzenesulfonamide, N-cyclopropyl-2-[[2-[(3,4,5-trimethoxyphenyl)amino]-4-pyrimidinyl]amino] - (9CI)  
MF C22 H25 N5 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

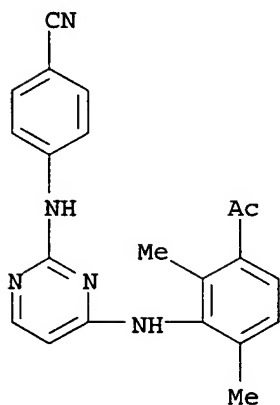
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzenesulfonamide, 2-[[2-[[2-methoxy-4-(4-morpholinyl)phenyl]amino]-4-pyrimidinyl]amino]-N-methyl- (9CI)  
MF C22 H26 N6 O4 S

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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

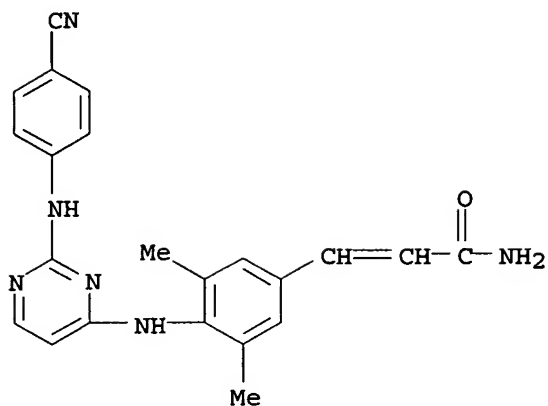
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzonitrile, 4-[[4-[(3-acetyl-2,6-dimethylphenyl)amino]-2-pyrimidinyl]amino]- (9CI)  
MF C21 H19 N5 O



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

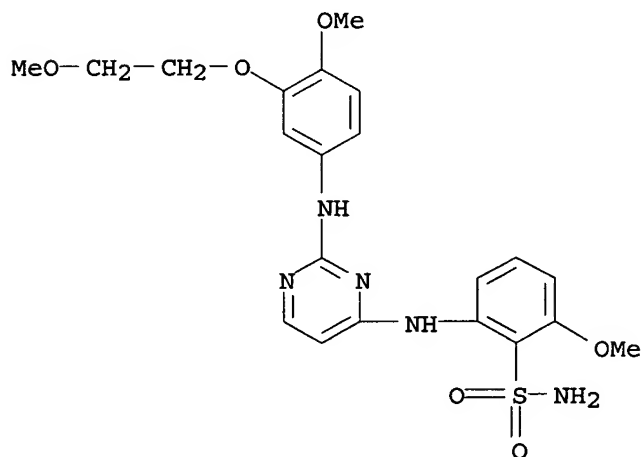
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2-Propenamide, 3-[4-[2-[(4-cyanophenyl)amino]-4-pyrimidinyl]amino]-3,5-dimethylphenyl]- (9CI)  
MF C22 H20 N6 O

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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

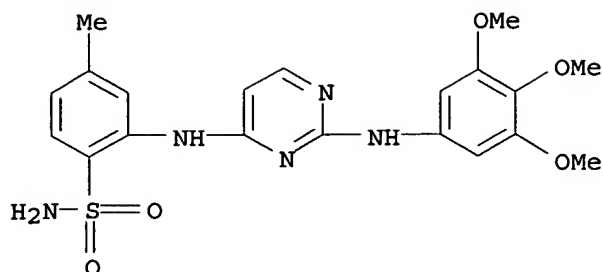
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzenesulfonamide, 2-methoxy-6-[[2-[[4-methoxy-3-(2-methoxyethoxy)phenyl]amino]-4-pyrimidinyl]amino]- (9CI)  
MF C21 H25 N5 O6 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

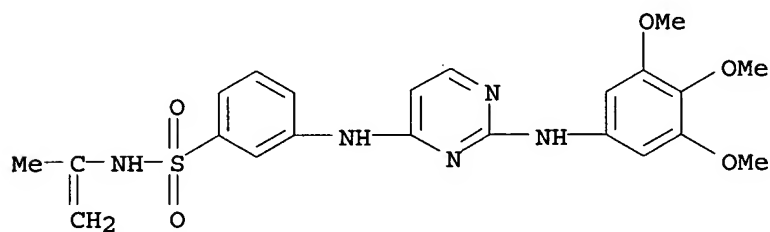
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzenesulfonamide, 4-methyl-2-[[2-[[3,4,5-trimethoxyphenyl]amino]-4-pyrimidinyl]amino]- (9CI)  
MF C20 H23 N5 O5 S

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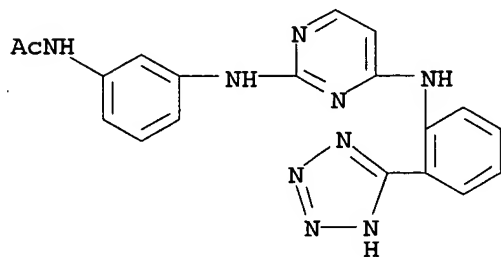
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzenesulfonamide, N-(1-methylethenyl)-3-[[2-[(3,4,5-trimethoxyphenyl)amino]-4-pyrimidinyl]amino]- (9CI)  
MF C22 H25 N5 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Acetamide, N-[3-[[4-[[2-(1H-tetrazol-5-yl)phenyl]amino]-2-pyrimidinyl]amino]phenyl]- (9CI)  
MF C19 H17 N9 O

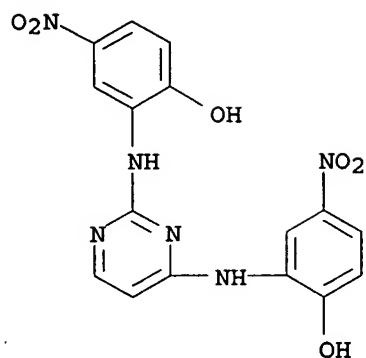


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Phenol, 2,2'-(2,4-pyrimidinediyl-diimino)bis[4-nitro- (9CI)  
MF C16 H12 N6 O6

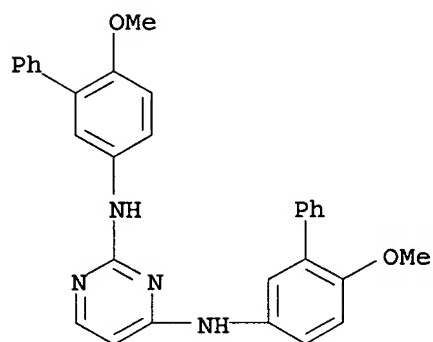


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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

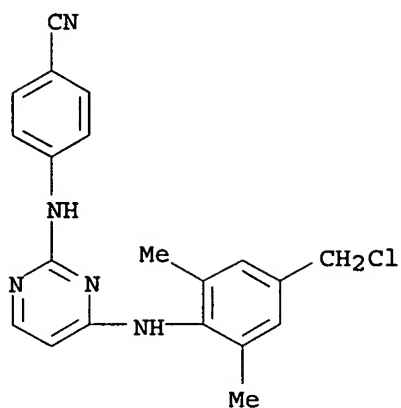
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2,4-Pyrimidinediamine, N,N'-bis(6-methoxy[1,1'-biphenyl]-3-yl)- (9CI)  
MF C30 H26 N4 O2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

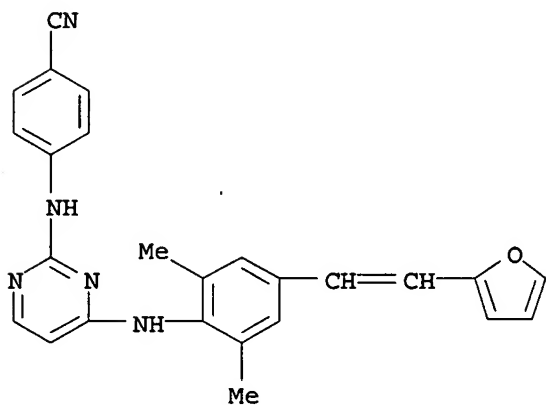
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzonitrile, 4-[[4-[[4-(chloromethyl)-2,6-dimethylphenyl]amino]-2-pyrimidinyl]amino]- (9CI)  
MF C20 H18 Cl N5

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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzonitrile, 4-[[4-[[4-[2-(2-furanyl)ethenyl]-2,6-dimethylphenyl]amino]-2-pyrimidinyl]amino]- (9CI)  
MF C25 H21 N5 O

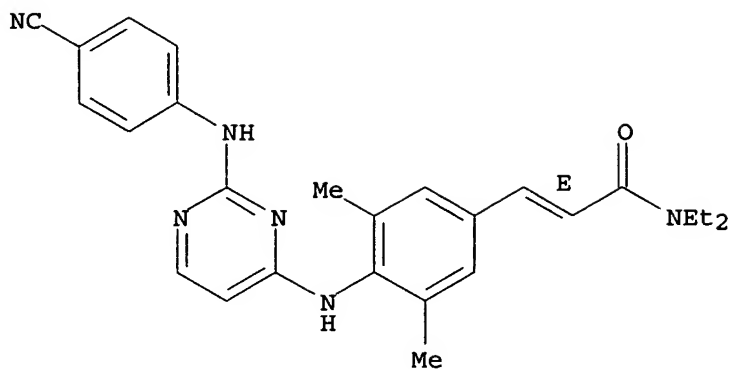


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2-Propenamide, 3-[4-[[2-[(4-cyanophenyl)amino]-4-pyrimidinyl]amino]-3,5-dimethylphenyl]-N,N-diethyl-, (2E)- (9CI)  
MF C26 H28 N6 O

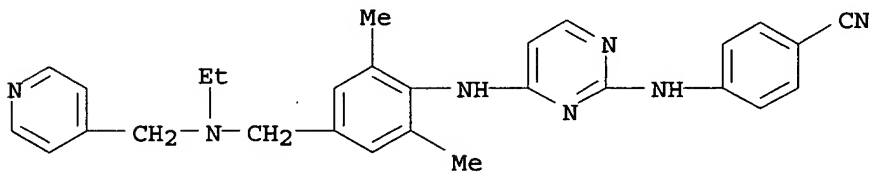
Double bond geometry as shown.

10/523,753



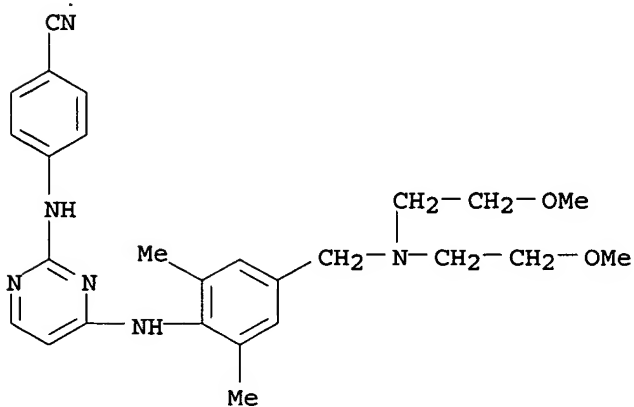
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzonitrile, 4-[[4-[[4-[[ethyl(4-pyridinylmethyl)amino]methyl]-2,6-dimethylphenyl]amino]-2-pyrimidinyl]amino]- (9CI)  
MF C28 H29 N7



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

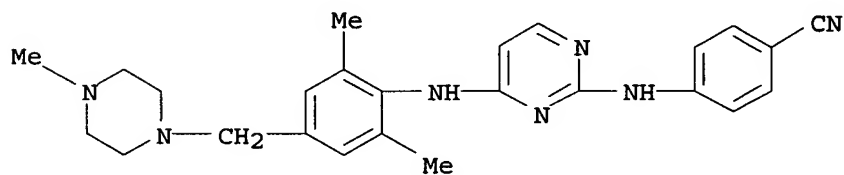
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzonitrile, 4-[[4-[[4-[[bis(2-methoxyethyl)amino]methyl]-2,6-dimethylphenyl]amino]-2-pyrimidinyl]amino]- (9CI)  
MF C26 H32 N6 O2



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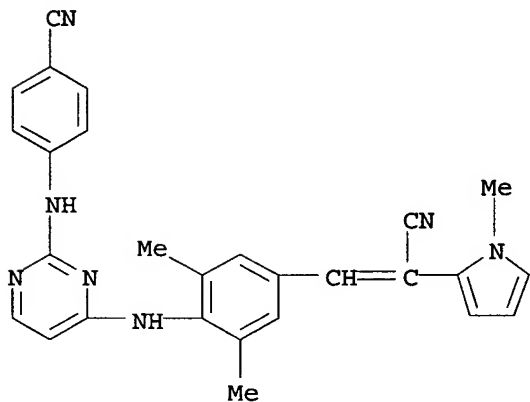
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzonitrile, 4-[[4-[[2,6-dimethyl-4-[(4-methyl-1-piperazinyl)methyl]phenyl]amino]-2-pyrimidinyl]amino]- (9CI)  
MF C25 H29 N7



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 1H-Pyrrole-2-acetonitrile,  $\alpha$ -[[4-[[2-[(4-cyanophenyl)amino]-4-pyrimidinyl]amino]-3,5-dimethylphenyl]methylene]-1-methyl- (9CI)  
MF C27 H23 N7

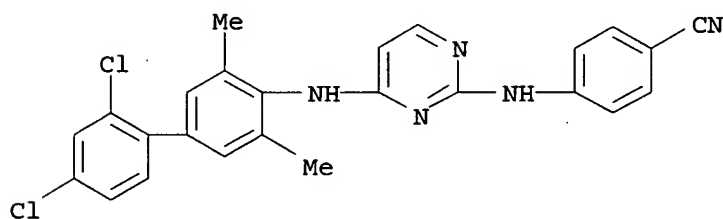


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2-Thiopheneacetonitrile,  $\alpha$ -[[4-[[2-[(4-cyanophenyl)amino]-4-pyrimidinyl]amino]-3,5-dimethylphenyl]methylene]- (9CI)  
MF C26 H20 N6 S

N#Cc1ccc(Nc2nc(Nc3c(C)c(C)cc3C=C(C#N)c4ccsc4)nn2)cc1

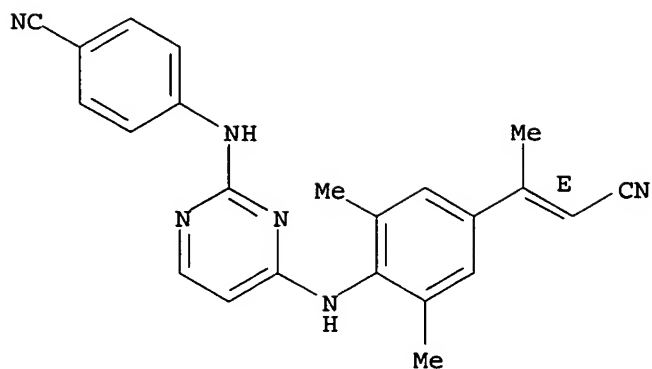
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzonitrile, 4-[[4-[(2',4'-dichloro-3,5-dimethyl[1,1'-biphenyl]-4-yl)amino]-2-pyrimidinyl]amino]- (9CI)  
MF C25 H19 Cl2 N5



L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Benzonitrile, 4-[[4-[[4-[(1E)-2-cyano-1-methylethenyl]-2,6-  
dimethylphenyl]amino]-2-pyrimidinyl]amino]- (9CI)  
MF C23 H20 N6

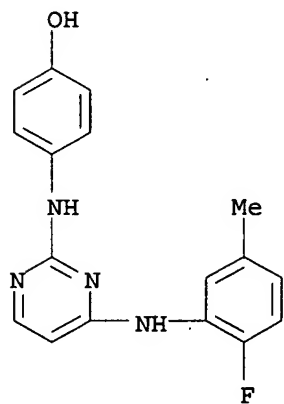
Double bond geometry as shown.

10/523,753



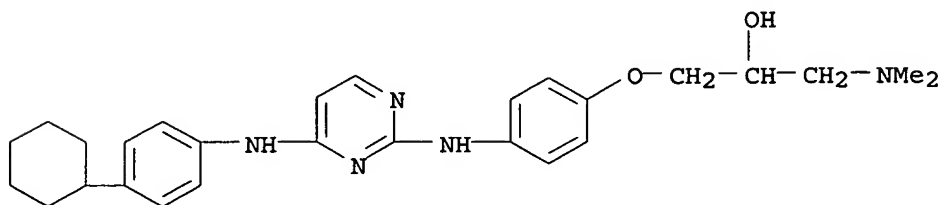
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Phenol, 4-[[4-[(2-fluoro-5-methylphenyl)amino]-2-pyrimidinyl]amino]- (9CI)  
MF C17 H15 F N4 O



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

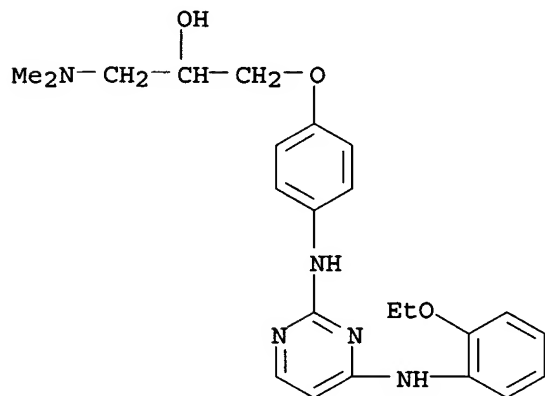
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2-Propanol, 1-[4-[[4-[(4-cyclohexylphenyl)amino]-2-pyrimidinyl]amino]phenoxy]-3-(dimethylamino)- (9CI)  
MF C27 H35 N5 O2



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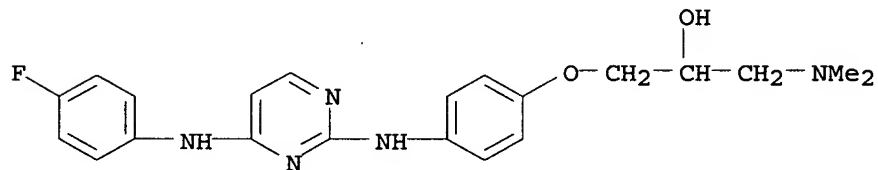
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2-Propanol, 1-(dimethylamino)-3-[4-[[4-[(2-ethoxyphenyl)amino]-2-pyrimidinyl]amino]phenoxy] - (9CI)  
MF C23 H29 N5 O3



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

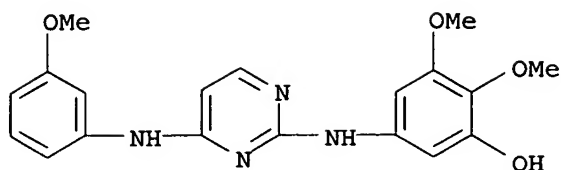
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2-Propanol, 1-(dimethylamino)-3-[4-[[4-[(4-fluorophenyl)amino]-2-pyrimidinyl]amino]phenoxy] - (9CI)  
MF C21 H24 F N5 O2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

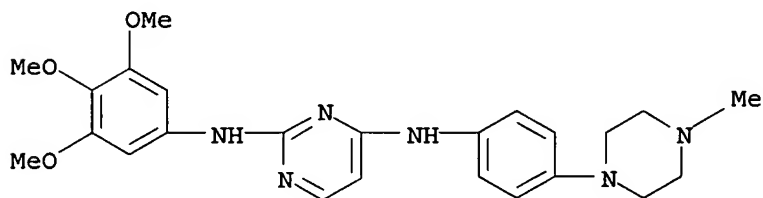
L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Phenol, 2,3-dimethoxy-5-[[4-[(3-methoxyphenyl)amino]-2-pyrimidinyl]amino] - (9CI)  
MF C19 H20 N4 O4

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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 28 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2,4-Pyrimidinediamine, N4-[4-(4-methyl-1-piperazinyl)phenyl]-N2-(3,4,5-trimethoxyphenyl)- (9CI)  
MF C24 H30 N6 O3



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss ful  
FULL SEARCH INITIATED 08:14:51 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 9839 TO ITERATE

100.0% PROCESSED 9839 ITERATIONS 651 ANSWERS  
SEARCH TIME: 00.00.01

L3 651 SEA SSS FUL L1

=> file caplus		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	167.38	167.59

FILE 'CAPLUS' ENTERED AT 08:14:57 ON 12 MAR 2006  
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FILE LAST UPDATED: 10 Mar 2006 (20060310/ED)

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<http://www.cas.org/infopolicy.html>

=> s l3/prep

63 L3  
3436306 PREP/RL  
L4 33 L3/PREP  
(L3 (L) PREP/RL)

=> d l4 1-63 bib abs

L4 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1350926 CAPLUS

DN 144:69629

TI Modified catalytic Heck reaction for the preparation of substituted  
cinnamonnitriles

IN Solberghe, Geoffrey Francois Freddy Ghislain; Schils, Didier Philippe  
Robert; Stappers, Alfred Elisabeth

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005123662	A1	20051229	WO 2005-EP52759	20050615
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI EP 2004-102798 A 20040618

OS MARPAT 144:69629

AB Substituted cinnamonnitriles are prepared by addition of an aryl compound to acrylonitrile in the presence of a heterogeneous palladium catalyst, a phosphine, a base, and a salt. The reaction can be conducted not only on aryl compds. activated with electron withdrawing groups, but also on neutral and even on deactivated aryl compds.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:371229 CAPLUS

DN 142:430288

TI Preparation of pyrimidinylaminoarylsulfoximines as cyclin dependent kinase (CDK) and/or vascular endothelial growth factor (VEGF) inhibitors

IN Luecking, Ulrich; Krueger, Martin; Jautelat, Rolf; Siemeister, Gerhard

PA Schering Aktiengesellschaft, Germany

10/523,753

SO PCT Int. Appl., 156 pp.

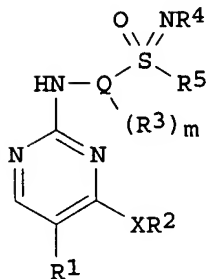
CODEN: PIXXD2

DT Patent

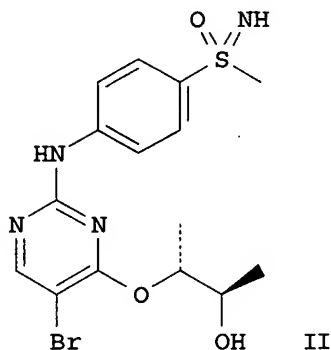
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005037800	A1	20050428	WO 2004-EP11661	20041012
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10349423	A1	20050616	DE 2003-10349423	20031016
	US 2005176743	A1	20050811	US 2004-966098	20041018
PRAI	DE 2003-10349423	A	20031016		
	US 2003-512921P	P	20031022		
OS	MARPAT 142:430288				
GI					



I



II

AB Title compds. [I; Q = specified 5-6 membered (hetero)aryl; R<sup>1</sup> = H, halo, alkyl, CF<sub>3</sub>, cyano, NO<sub>2</sub>, alkoxy, etc.; R<sup>2</sup> = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl; X = O, imino; XR<sup>2</sup> = atoms to form a 3-10 membered (substituted) cycloalkyl ring; R<sup>3</sup> = H, OH, halo, CF<sub>3</sub>, OCF<sub>3</sub>, amino; m = 0-4; R<sup>4</sup> = H, NO<sub>2</sub>, Me<sub>3</sub>Si, Et<sub>3</sub>Si, (substituted) alkyl, etc.; R<sup>5</sup> = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R<sup>4</sup>R<sup>5</sup> = atoms to form a (substituted) heterocyclic ring], were prepared Thus, title compound (II) (preparation outlined) inhibited MCF7 cell proliferation with IC<sub>50</sub> = 0.06 μM.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:260061 CAPLUS

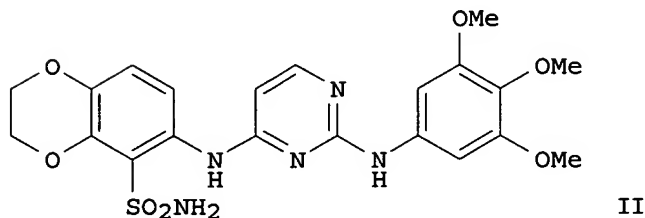
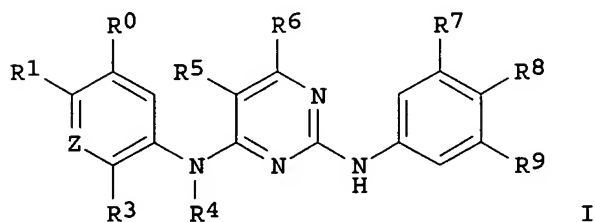
DN 142:336385

TI Preparation of 2,4-di[(hetero)arylamino]pyrimidine derivatives as ZAP-70 and/or SYK inhibitors

10/523,753

IN Baenteli, Rolf; Bernhard, Marie Claude; Buehlmayer, Peter; Cooke, Nigel  
Graham; Duthaler, Rudolf; Hinterding, Klaus; Thoma, Gebhard; Van Eis,  
Maurice; Von Matt, Anette; Walliser, Louis; Zenke, Gerhard  
PA Novartis AG, Switz.; Novartis Pharma GmbH  
SO PCT Int. Appl., 58 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005026158	A1	20050324	WO 2004-EP10348	20040915
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	GB 2003-21710	A	20030916		
	GB 2004-14440	A	20040628		
OS	MARPAT 142:336385				
GI					



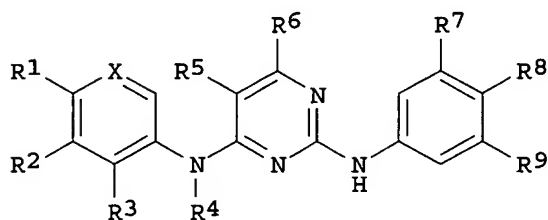
AB The title compds. [I; Z = CR<sub>2</sub>, N; R<sub>0</sub>-R<sub>4</sub> = H, OH, alkyl, etc.; or R<sub>3</sub> and R<sub>4</sub> form together with the nitrogen and carbon atoms to which they are attached a 5-10 membered heterocyclic ring; or R<sub>1</sub>-R<sub>3</sub> = halo, haloalkyl, alkoxy, etc.; or R<sub>1</sub> and R<sub>2</sub> form aryl, 5-10 membered heteroaryl, 5-15 membered non-aromatic carbocyclic or heterocyclic residue; R<sub>5</sub>, R<sub>6</sub> = H, halo, CN, etc.; at least one of R<sub>7</sub>-R<sub>9</sub> = halo, tetrahydropyran-2-ylmethoxy, alkylsulfanyl, etc.; or R<sub>7</sub> and R<sub>8</sub> or R<sub>8</sub> and R<sub>9</sub>, resp. form together a 5-membered heterocyclic ring, 5-6 membered heterocyclic ring comprising 1 nitrogen atom, 5-20 membered heterocyclic residue comprising 1-7 oxygen atoms] which have ZAP-70 and/or Syk inhibitory activities, were prepared E.g., a multi-step synthesis of II, starting from 3,4,5-

trimethoxyphenylamine and 2-methylsulfonylpyrimidin-4-ol, was given. The compds. I were tested in various in vitro assays against ZAP-70, Syk, ALK, etc. (biol. data were given for selected compds. I). The pharmaceutical composition comprising the compound I is claimed.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2005:260035 CAPLUS  
DN 142:336377  
TI Preparation of 2,4-di(phenylamino)pyrimidines useful in the treatment of  
proliferative disorders  
IN Imbach, Patricia; Roesel, Johannes  
PA Novartis AG, Switz.; Novartis Pharma GmbH  
SO PCT Int. Appl., 39 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005026130	A1	20050324	WO 2004-EP10466	20040917
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2003-504374P	P	20030918		
OS	MARPAT 142:336377				
GI					



AB The title compds. I [X = CR<sub>0</sub>, N; R<sub>0</sub>, R<sub>1</sub>-R<sub>4</sub> = H, OH, alkyl, etc.; or R<sub>3</sub> and R<sub>4</sub> form together with the nitrogen and carbon atoms to which they are attached a 5-10 membered heterocyclic ring and comprising addnl. 1-3 heteroatoms selected from N, O and S; or R<sub>1</sub>-R<sub>3</sub> = halo, haloalkyl, alkoxy, etc.; or R<sub>1</sub> and R<sub>2</sub> form aryl or 5-10 membered heteroaryl; R<sub>5</sub>, R<sub>6</sub> = H, halo, CN, alkyl, etc.; R<sub>7</sub>-R<sub>9</sub> = H, OH, alkyl, etc.], useful for preventing or treating proliferative disorders such as a tumor disease, by inhibiting ALK activity, were prepared E.g., a 2-step synthesis of 2-[2-(1H-indazol-6-ylamino)-pyrimidin-4-ylamino]benzenesulfonamide, starting from 2-aminobenzenesulfonamide and 2,4-dichloropyrimidine, was given. The compds. I were tested for inhibition of ALK tyrosine kinase in various cellular assays (data were given for representative compds. I).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:158647 CAPLUS

DN 142:261547

TI Preparation of 2,4-pyrimidinediamines useful in the treatment of neoplastic diseases, inflammatory and immune system disorders

IN Garcia-echeverria, Carlos; Kanazawa, Takanori; Kawahara, Eiji; Masuya, Keiichi; Matsuura, Naoko; Miyake, Takahiro; Ohmori, Osamu; Umemura, Ichiro; Steensma, Ruo; Chopiuk, Greg; Jiang, Jiqing; Wan, Yongqin; Ding, Qiang; Zhang, Qiong; Gray, Nathanael Schiander; Karanewsky, Donald

PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.; IRM LLC

SO PCT Int. Appl., 285 pp.

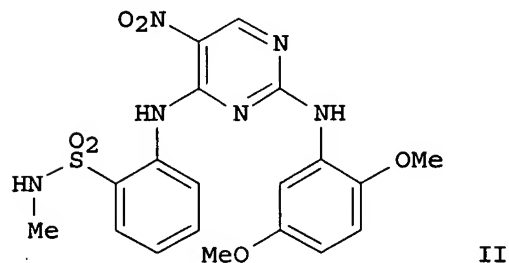
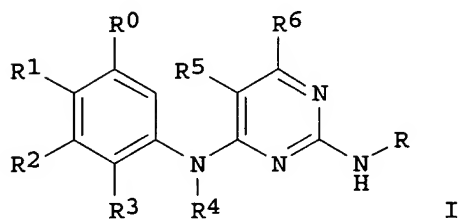
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005016894	A1	20050224	WO 2004-EP9099	20040813
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	GB 2003-19227	A	20030815		
	GB 2003-22370	A	20030924		
OS	MARPAT 142:261547				
GI					

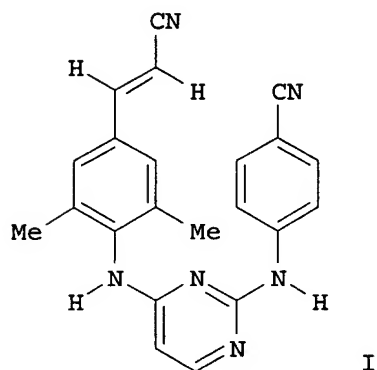


10/523,753

AB The title compds. I [R = aryl, heteroaryl, cycloalkyl and heterocycloalkyl; R0-R3 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl; R5, R6 = H, alkyl, alkoxyalkyl, etc.], useful for the manufacture of a medicament for the treatment or prevention of a disease which responds to inhibition of FAK and/or ALK and/or ZAP-70 and/or IGF-IR, were prepared and formulated. E.g., a 2-step synthesis of II, starting from 2,4-dichloro-5-nitropyrimidine and 2-amino-N-methylbenzenesulfonamide, was given. The compds. I have IC50 values in the range of 10 nM to 2 µM in cell-free ZAP-70 kinase assay.

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:985555 CAPLUS  
DN 142:219230  
TI Synthesis of novel diarylpyrimidine analogues and their antiviral activity against human immunodeficiency virus type 1  
AU Guillemont, Jerome; Pasquier, Elisabeth; Palandjian, Patrice; Vernier, Daniel; Gaurrand, Sandrine; Lewi, Paul J.; Heeres, Jan; de Jonge, Marc R.; Koymans, Lucien M. H.; Daeyaert, Frits F. D.; Vinkers, Maarten H.; Arnold, Edward; Das, Kalyan; Pauwels, Rudi; Andries, Koen; de Bethune, Marie-Pierre; Bettens, Eva; Hertogs, Kurt; Wigerinck, Piet; Timmerman, Philip; Janssen, Paul A. J.  
CS Medicinal Chemistry Department, Johnson Johnson Pharmaceutical Research and Development, Val de Reuil, Fr.  
SO Journal of Medicinal Chemistry (2005), 48(6), 2072-2079  
CODEN: JMCMAR; ISSN: 0022-2623  
PB American Chemical Society  
DT Journal  
LA English  
OS CASREACT 142:219230  
GI



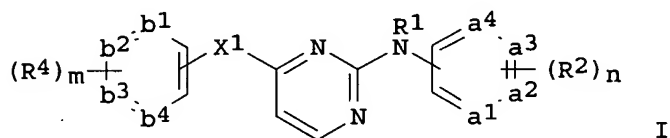
AB The synthesis and the antiviral properties of di(arylamino)pyrimidines (DAPY), e.g., I, as nonnucleoside reverse transcriptase inhibitors (NNRTIs), is reported. The synthesis program around this DAPY series was further optimized to produce compds. displaying improved activity against a panel of eight clin. relevant single and double mutant strains of human immunodeficiency virus type 1 (HIV-1).

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:675730 CAPLUS

DN 141:207218  
 TI Pyrimidine derivatives for the prevention of HIV infection  
 IN Janssen, Paul Adriaan Jan; Heeres, Jan; Lewi, Paulus Joannes; De Jonge, Marc Rene; Koymans, Lucien Maria Henricus; Daeyaert, Frederik Frans Desire; Vinkers, Hendrik Maarten  
 PA Janssen Pharmaceutica N.V., Belg.; Arts, Theodora Joanna Francisca; Janssen, Graziella Maria Constantina; Janssen, Herwig Josephus Margareta; Janssen, Jasmine Josee Werner; Janssen, Paul Peter Maria; Janssen, Maroussia Godelieve Frank; Guillemont, Jerome Emile Georges; Pasquier, Elisabeth Therese Jeanne  
 SO PCT Int. Appl., 56 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004069812	A1	20040819	WO 2004-EP1011	20040204
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2513527	AA	20040819	CA 2004-2513527	20040204
	EP 1597237	A1	20051123	EP 2004-707937	20040204
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	NO 2005004143	A	20050906	NO 2005-4143	20050906
PRAI	WO 2003-EP1291	A	20030207		
	WO 2003-EP301291	A	20030207		
	WO 2004-EP1011	W	20040204		
OS	MARPAT 141:207218				
GI					



AB This invention concerns the use of a compound I [a1:a2a3:a4, b1:b2b3:b4 = atoms to form Ph, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl rings; n = 0-5; m = 1-4; R1 = H, aryl, CHO, alkylcarbonyl, alkyl, alkyloxycarbonyl, alkylcarbonyl, etc.; R2 = OH, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, alkoxycarbonyl, carboxyl, CN, NO2, NH2, polyhalomethyl, polyhalomethoxy, polyhalomethylthio, SOpR6, NHSOpR6, COR6, NHCOR6, CONHNH2, NHCOR6, C(:NH)R6, 5-membered heterocycle; X1 = NR5, NHNH, N:N, O, CO, alkanediyl, CH(OH), S, SOp, X2-alkanediyl, alkanediyl-X2; X2 = NR5, NHNH, N:N, O, CO, CH(OH), S, SOp; R3 = NHR13, NR13R14, CONHR13, CONR13R14, COR15, CH:NNHCOR16, substituted alkyl, (substituted) alkoxyalkyl, substituted alkenyl, alkynyl, alkyl substituted with OH and a second substituent, C(:NOR8)-alkyl, R7, X3R7; R4 = halo, OH, alkyl, cycloalkyl, alkoxy, CN, NO2, polyhaloalkyl, polyhaloalkoxy, aminocarbonyl, alkyloxycarbonyl, alkylcarbonyl, CHO, NH2; R5 = H, aryl, CHO, alkylcarbonyl, alkyl, alkoxycarbonyl, etc.; R6 = alkyl, amino, polyhaloalkyl; R7 = mono-, bi-, or tricyclic (aromatic) carbocyclyl, heterocyclyl; R13, R14 = alkyl, alkenyl, alkynyl optionally substituted by

cyano, aminocarbonyl; R15 = cyanoalkyl, aminocarbonylalkyl; R16 = R15, R7; p = 1, 2] for the manufacture of a medicament for the prevention of HIV infection via sexual intercourse and related intimate contact between partners, and pharmaceutical compns. comprising them. Preparation of compds. I is disclosed and described in WO 2003/016306. A 2-step synthesis of novel compound I, (E)-4-([4-[4-(2-cyanoethenyl)-2,6-dimethylphenoxy]-2-pyrimidinyl]amino)benzonitrile, starting from 4-hydroxy-3,5-dimethylbenzaldehyde and 4-[(4-chloro-2-pyrimidinyl)amino]benzonitrile, which showed pIC50 of 9.00 when tested for anti-HIV properties, was given.

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:486399 CAPLUS

DN 141:54353

TI Pharmaceutical compositions comprising a surfactant and a physiologically tolerable water-soluble acid respectively base, and a basic respectively acidic drug compound, containing a pyrimidine unit, for treating HIV

IN Vandecruys, Roger Petrus Gerebern

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004050068	A1	20040617	WO 2002-EP13558	20021129
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002350719	A1	20040623	AU 2002-350719	20021129
	CA 2505742	AA	20040617	CA 2003-2505742	20031125
	WO 2004050058	A2	20040617	WO 2003-EP50890	20031125
	WO 2004050058	A3	20040930		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003294038	A1	20040623	AU 2003-294038	20031125
	EP 1567134	A2	20050831	EP 2003-789453	20031125
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003016532	A	20051004	BR 2003-16532	20031125
	NO 2005003143	A	20050627	NO 2005-3143	20050627
PRAI	WO 2002-EP13558	A	20021129		
	WO 2003-EP50890	W	20031125		

GI



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention provides a novel pharmaceutical composition for treating HIV comprising a basic resp. acidic drug compound I, a surfactant and a physiol. tolerable water-soluble acid resp. base in which the acid resp. base:drug compound ratio is at least 1:1 by weight [wherein X = O, NH; Y = NH, NMe; R1 = Me, H; R2 = Me, Cl, Br, OMe, 2-furanyl, etc.; R3 = H, 2-benzofuranyl, 1-naphthalenyl, (un)substituted Ph, CH<sub>2</sub>CH<sub>2</sub>CN, CH:CHCN, etc.; R4 = H, NO<sub>2</sub>, NH<sub>2</sub>, etc.; their N-oxides, pharmaceutically acceptable addition salts, quaternary amines, or stereochem. isomeric forms]. Ten pharmaceutical compns. are given. Thus, amination of 4-[(4-chloro-2-pyrimidinyl)amino]benzonitrile (preparation given) with amine II (preparation given)

in the presence of K<sub>2</sub>CO<sub>3</sub>/CH<sub>2</sub>Cl<sub>2</sub>/MeOH at 150° for 1 h gave the title compound III. Selected I displayed pIC<sub>50</sub> values in the range 8.0-9.5 for the inhibition of the HIV-induced cytopathic effect.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:162661 CAPLUS

DN 140:199342

TI Processes for the preparation of 4-[[4-[[4-(2-cyanoethenyl)-2,6-dimethylphenyl]amino]-2-pyrimidinyl]amino]benzonitrile

IN Schils, Didier Philippe Robert; Willems, Joannes Josephus Maria; Medaer, Bart Petrus Anna Maria Jozef; Pasquier, Elisabeth Therese Jeanne; Janssen, Paul Adriaan Jan; Heeres, Jan; Leenders, Ruben Gerardus George

PA Janssen Pharmaceutica N.V., Belg.; Guillemont, Jerome Emile Georges

SO PCT Int. Appl., 37 pp.

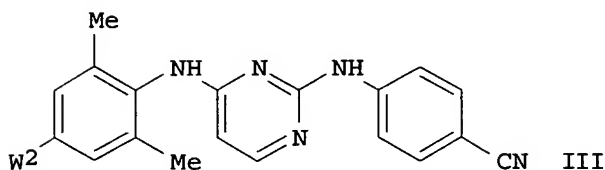
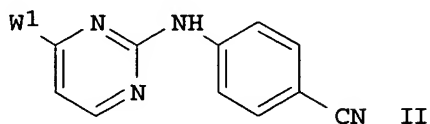
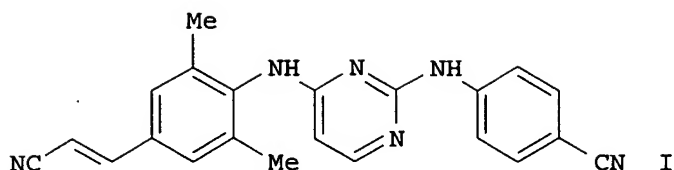
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004016581	A1	20040226	WO 2003-EP50366	20030807
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2493794	AA	20040226	CA 2003-2493794	20030807
	AU 2003266413	A1	20040303	AU 2003-266413	20030807
	EP 1529032	A1	20050511	EP 2003-787813	20030807
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003013545	A	20050712	BR 2003-13545	20030807
	JP 2005537303	T2	20051208	JP 2004-528518	20030807
	NO 2005000524	A	20050201	NO 2005-524	20050201
PRAI	EP 2002-78306	A	20020809		
	WO 2003-EP50366	W	20030807		
OS	CASREACT 140:199342; MARPAT 140:199342				
GI					



AB Processes for the preparation of 4-[[4-[[4-(2-cyanoethenyl)-2,6-dimethylphenyl]amino]-2-pyrimidinyl]amino]benzonitrile of formula (I), a N-oxide, a pharmaceutically acceptable acid addition salt, a quaternary amine or a stereochem. isomeric form thereof are provided. The said processes comprise reacting 4-(2-cyanoethenyl)-2,6-dimethylbenzenamine with an intermediate of formula (II, W1 = leaving group) in the presence of a suitable solvent, or reacting an intermediate of formula (III, W2 = leaving group) with acrylonitrile in the presence of a suitable palladium catalyst, a suitable base and a suitable solvent. Dehydration of the corresponding amide of compound of formula (I) also yields the target compound

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:142963 CAPLUS

DN 140:199334

TI Preparation of 2,4-pyrimidinediamines as IgE and/or IgG receptor modulators for treatment of autoimmune diseases

IN Singh, Rajinder; Argade, Ankush; Payan, Donald G.; Clough, Jeffrey; Keim, Holger; Sylvain, Catherine; Li, Hui; Bhamidipati, Somasekhar

PA Rigel Pharmaceuticals, USA

SO PCT Int. Appl., 811 pp.

CODEN: PIXXD2

DT Patent

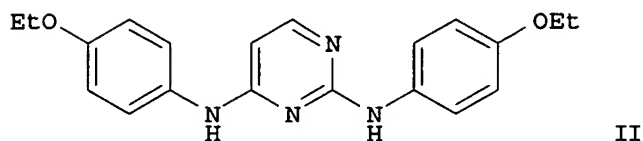
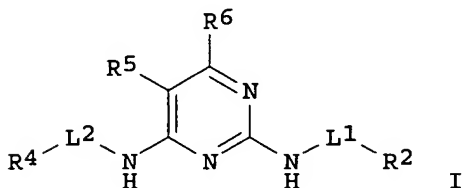
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014382	A1	20040219	WO 2003-US24087	20030729
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				
	PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,				

TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2492325	AA	20040219	CA 2003-2492325	20030729
AU 2003265336	A1	20040225	AU 2003-265336	20030729
EP 1534286	A1	20050601	EP 2003-784871	20030729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013059	A	20050705	BR 2003-13059	20030729
US 2005038243	A1	20050217	US 2004-858343	20040601
US 2005209230	A1	20050922	US 2004-911684	20040803
SE 2005000203	A	20050329	SE 2005-203	20050127
NO 2005001069	A	20050228	NO 2005-1069	20050228
US 2006025410	A1	20060202	US 2005-149105	20050608
US 2006035916	A1	20060216	US 2005-148746	20050608
PRAI US 2002-399673P	P	20020729		
US 2003-443949P	P	20030131		
US 2003-452339P	P	20030306		
US 2003-631029	A	20030729		
US 2002-353267P	P	20020201		
US 2002-353333P	P	20020201		
US 2002-434277P	P	20021217		
US 2003-355543	A1	20030131		
WO 2003-US24087	W	20030729		
OS MARPAT 140:199334				
GI				

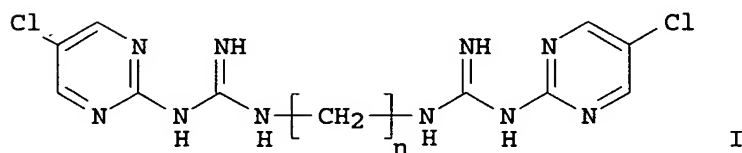


AB The present invention provides methods of treating or preventing autoimmune diseases with 2,4-pyrimidinediamine compds., as well as methods of treating, preventing or ameliorating symptoms associated with such diseases. Title compds. I [wherein L1 and L2 = independently a bond or a linker; R2 = (un)substituted alkyl, (hetero)cycloalkyl, or (hetero)aryl; R4 = H or R2; R5 = R6 or (un)substituted alkyl, alkenyl, or alkynyl; R6 = independently H, an electroneg. group, protected alc. or thiol, haloalkyl(oxy), halo, CN, NC, OCN, SCN, NO, NO2, N3, or (un)substituted amino, sulfamoyl(oxy), acyl, carboxy, carbamoyl, (hetero)aryl(alkyl), etc.; with provisos and exclusions; and salts, hydrates, solvates, N-oxides, and prodrugs thereof] were prepared as inhibitors of the IgE and/or IgG receptor signaling cascades that lead to the release of chemical mediators. For example, coupling of 2,4-dichloropyrimidine with 4-ethoxyaniline in EtOH provided N2,N4-bis(4-ethoxyphenyl)-2,4-pyrimidinediamine (II). The latter inhibited degranulation of bone marrow

derived mast cells challenged with anti-IgE and ionomycin with IC50 values of 4.5  $\mu$ M and 4.4  $\mu$ M, resp. Thus, I and their pharmaceutical compns. are useful in the treatment and prevention of diseases characterized by, caused by, or associated with the release of chemical mediators via degranulation of mast, basophil, neutrophil, or eosinophil cells and other processes effected by activation of the IgE and/or IgG receptor signaling cascades. Specific examples of autoimmune diseases that can be treated or prevented with I and their pharmaceutical compns. include rheumatoid arthritis, systemic lupus erythematosus, and multiple sclerosis (no data).

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:6495 CAPLUS  
DN 141:140386  
TI Synthesis, Biological Activity, and QSAR Studies of Antimicrobial Agents Containing Biguanide Isosteres  
AU Wernert, Gregory T.; Winkler, David A.; Holan, George; Nicoletti, Gina  
CS CSIRO Division of Molecular Science, Clayton South, VIC 3169, Australia  
SO Australian Journal of Chemistry (2004), 57(1), 77-85  
CODEN: AJCHAS; ISSN: 0004-9425  
PB CSIRO Publishing  
DT Journal  
LA English  
OS CASREACT 141:140386  
GI



AB Analogs of chlorhexidine and chemical related antimicrobial compds., such as I·2HCl (n = 10 or 12), were synthesized, based on a model in which the biguanide moieties were replaced by conformationally restricted cyclic isosteres. This model was tested by measuring the antimicrobial activities of the compds. Quant. structure-activity relationship (QSAR) studies showed a parabolic dependence of antimicrobial activity on the lipophilicity of the compds. The basicity of the functional groups in the mols. was also very important, as uncharged mols. were not able to disrupt the microbial phospholipid bilayer and cause an antimicrobial effect. The QSAR results were compared with those reported for other antimicrobial agents with diverse structures. Very similar QSAR models were found for all studied compds. with a log P (octanol/water partition constant) optimum at 5.5 (neutral log P value). The form of the QSAR equations were similar, suggesting a common mode of action for these agents.

RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2003:757684 CAPLUS  
DN 139:292258  
TI Pyrimidine derivatives  
IN Baenteli, Rolf; Zenke, Gerhard; Cooke, Nigel Graham; Duthaler, Rudolf; Thoma, Gebhard; Von Matt, Anette; Honda, Toshiyuki; Matsuura, Naoko; Nonomura, Kazuhiko; Ohmori, Osamu; Umemura, Ichiro; Hinterding, Klaus; Papageorgiou, Christos

10/523,753

PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SO PCT Int. Appl., 45 pp.

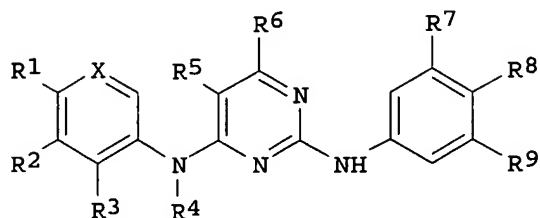
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003078404	A1	20030925	WO 2003-EP2710	20030314
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
	CA 2479133	AA	20030925	CA 2003-2479133	20030314
	AU 2003227070	A1	20030929	AU 2003-227070	20030314
	EP 1487805	A1	20041222	EP 2003-744366	20030314
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003008461	A	20050118	BR 2003-8461	20030314
	JP 2005527529	T2	20050915	JP 2003-576410	20030314
	NO 2004004374	A	20041014	NO 2004-4374	20041014
PRAI	GB 2002-6215	A	20020315		
	WO 2003-EP2710	W	20030314		
OS	MARPAT 139:292258				
GI					



I

AB The pyrimidine derivs. (I) are claimed, wherein X = =CR or =N, R, R1, R2, R3, R4 independently is H, OH, C1-8alkyl, C2-8alkenyl, C3-8cycloalkyl, C3-8cycloalkyl-C1-8alkyl, hydroxyC1-8alkyl, C1-8alkoxyC1-8alkyl, hydroxyC1-8alkoxyC1-8alkyl, arylC1-8alkyl which optionally may be substituted on the ring by OH, C1-8alkoxy, carboxy, C1-8alkoxycarbonyl or R3 and R4 form together with N and C atoms to which they are attached to a 5-10 membered heterocyclic ring containing 1, 2 or 3 heteroatoms of N, O or S; R1 and R2 form together with C atoms to which they are attached aryl of 5-10 membered heteroaryl moiety containing 1-2 heteroatoms of N, O, S; R and R6 independently is H, halo, CN, C1-8alkyl, haloC1-8alkyl, C2-8alkenyl, C2-8alkynyl, C3-8cycloalkyl, C3-8cycloalkylC1-8alkyl, C5-10arylC1-8alkyl,; R7, R8 and R9 is independently H, OH, C1-8alkyl, C2-8alkenyl, haloC1-8alkyl, C1-8alkoxy, C3-8cycloalkyl, C3-8cycloalkylC1-8, arylC1-8alkyl. disorders where ZAP-70 and/or Syk inhibition plays a role or caused by a malfunction of signal cascades connected with FAK. I are useful in disorders where ZAP-70 and/or Syk inhibition plays a role or caused by a malfunction of signal cascades connected with FAK.

Pharmaceutical compns. containing I are claimed.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:665524 CAPLUS  
 DN 139:332352  
 TI Cyclin-dependent kinase 4 inhibitors as a treatment for cancer. Part 2: identification and optimization of substituted 2,4-bis anilino pyrimidines  
 AU Breault, Gloria A.; Ellston, Rebecca P. A.; Green, Stephen; James, S. Russell; Jewsbury, Philip J.; Midgley, Catherine J.; Pauptit, Richard A.; Minshull, Claire A.; Tucker, Julie A.; Pease, J. Elizabeth  
 CS AstraZeneca, Cheshire, SK10 4TG, UK  
 SO Bioorganic & Medicinal Chemistry Letters (2003), 13(18), 2961-2966  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier Science B.V.  
 DT Journal  
 LA English  
 OS CASREACT 139:332352  
 AB Through chemical modification and x-ray crystallog. we identified the 2,4-bis anilino pyrimidines as potent inhibitors of CDK4. Herein, we describe the optimization of this series.  
 RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

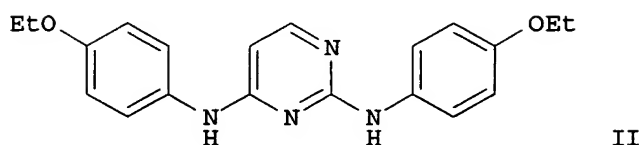
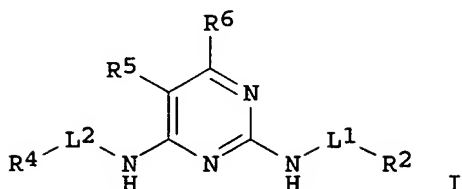
L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:610204 CAPLUS  
 DN 139:164801  
 TI Preparation of 2,4-pyrimidinediamines as IgE and/or IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction  
 IN Singh, Rajinder; Argade, Ankush; Payan, Donald G.; Molineaux, Susan; Holland, Sacha J.; Clough, Jeffrey; Keim, Holger; Bhamidipati, Somasekhar; Sylvain, Catherine; Li, Weigun; Rossi, Alexander B.  
 PA Rigel Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 648 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003063794	A2	20030807	WO 2003-US3022	20030131
WO 2003063794	A3	20031204		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2474277	AA	20030807	CA 2003-2474277	20030131
US 2004029902	A1	20040212	US 2003-355543	20030131
EP 1471915	A2	20041103	EP 2003-707654	20030131
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005516046	T2	20050602	JP 2003-563490	20030131
US 2005038243	A1	20050217	US 2004-858343	20040601
US 2005209230	A1	20050922	US 2004-911684	20040803
NO 2004003632	A	20041026	NO 2004-3632	20040831
US 2006025410	A1	20060202	US 2005-149105	20050608
US 2006035916	A1	20060216	US 2005-148746	20050608
PRAI US 2002-353267P	P	20020201		
US 2002-353333P	P	20020201		

10/523,753

US 2002-399673P	P	20020729
US 2002-434277P	P	20021217
US 2003-355543	A1	20030131
WO 2003-US3022	W	20030131

OS MARPAT 139:164801  
GI



AB Title compds. I [wherein L1 and L2 = independently a bond or a linker; R2 = (un)substituted alkyl, (hetero)cycloalkyl, or (hetero)aryl; R4 = H or R2; R5 = R6 or (un)substituted alkyl, alkenyl, or alkynyl; R6 = independently H, an electroneg. group, protected alc. or thiol, haloalkyl(oxy), halo, CN, NC, OCN, SCN, NO, NO2, N3, or (un)substituted amino, sulfamoyl(oxy), acyl, carboxy, carbamoyl, (hetero)aryl(alkyl), etc.; with provisos and exclusions; and salts, hydrates, solvates, N-oxides, and prodrugs thereof] were prepared as inhibitors of the IgE and/or IgG receptor signaling cascades that lead to the release of chemical mediators. For example, coupling of 2,4-dichloropyrimidine with 4-ethoxyaniline in EtOH provided N2,N4-bis(4-ethoxyphenyl)-2,4-pyrimidinediamine (II). The latter inhibited degranulation of bone marrow derived mast cells challenged with anti-IgE and ionomycin with IC50 values of 4.5  $\mu$ M and 4.4  $\mu$ M, resp. Thus, I and their pharmaceutical compns. are useful in the treatment and prevention of diseases characterized by, caused by, or associated with the release of chemical mediators via degranulation of mast, basophil, neutrophil, or eosinophil cells and other processes effected by activation of the IgE and/or IgG receptor signaling cascades. The treatment and prevention of allergic diseases, low grade scarring, diseases associated with tissue destruction, diseases associated with tissue inflammation, inflammation, and scarring are targeted uses (no data).

L4 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:434539 CAPLUS

DN 139:22228

TI Preparation of aryldiamine derivatives as amyloid protein fibrosis inhibitors for treatment of Alzheimer's disease

IN Meguro, Masaki; Oda, Tomiichiro; Nakagami, Yasuhiro; Marumoto, Shinji; Koyama, Kazuo; Kaneko, Isao

PA Sankyo Company, Limited, Japan

SO PCT Int. Appl., 82 pp.

CODEN: PIXXD2

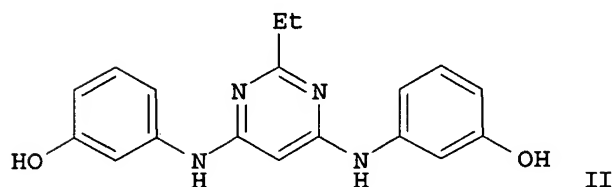
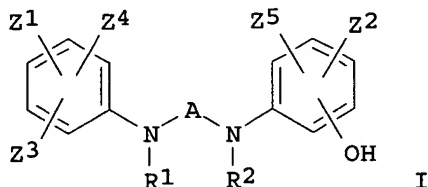
DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2003045923 A1 20030605 WO 2002-JP12265 20021125  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
CA 2468948 AA 20030605 CA 2002-2468948 20021125  
AU 2002349480 A1 20030610 AU 2002-349480 20021125  
BR 2002014539 A 20041103 BR 2002-14539 20021125  
EP 1473289 A1 20041103 EP 2002-783612 20021125  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
ZA 2004004652 A 20050613 ZA 2004-4652 20021125  
JP 2004083547 A2 20040318 JP 2002-343294 20021127  
NO 2004002709 A 20040827 NO 2004-2709 20040628  
US 2005054732 A1 20050310 US 2004-497183 20041019  
PRAI JP 2001-361847 A 20011128  
JP 2002-192777 A 20020702  
WO 2002-JP12265 W 20021125  
OS MARPAT 139:22228  
GI



AB The title compds. I [wherein R1 and R2 = independently H or alkyl; Z1 and Z2 = independently H, alkyl, alkoxy, haloalkyl, or halo; Z3 = alkoxy, SH, alkylthio, NH2, alkylamino, dialkylamino, OH, or halo; Z4 and Z5 = independently H or halo; A = (un)substituted pyrimidine, pyrazine, 1,3,5-triazine, or pyridazine] and pharmaceutically acceptable salts thereof are prepd as amyloid protein fibrosis inhibitors for the treatment of Alzheimer's disease. For example, 2-ethyl-1H-pyrimidine-4,6-dione was treated with phosphoryl chloride to give 4,6-dichloro-2-ethylpyrimidine (95%). The pyrimidine obtained was reacted with 3-aminophenol in 2-ethoxyethanol to afford II (60%). II showed IC50 of 1.9  $\mu$ M against 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide (MTT) reducibility deterioration. Formulations containing I as an active ingredient were also described.

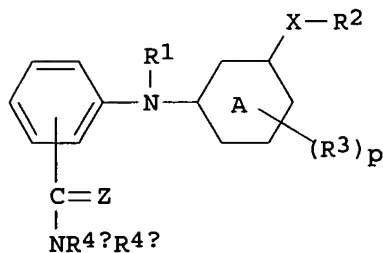
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



10/523,753

DN 138:368902  
 TI Preparation of aminobenzamide derivatives as glycogen synthase kinase 3 $\beta$  inhibitors  
 IN Freyne, Eddy Jean Edgard; Buijnsters, Peter Jacobus Johannes Antonius; Willems, Marc; Embrechts, Werner Constant Johan; Janssen, Paul Adriaan Jan; Lewi, Paulus Joannes; Heeres, Jan; De Jonge, Marc Rene; Koymans, Lucien Maria Henricus; Daeyaert, Frederik Frans Desire; Kukla, Michael Joseph; Geerts, Hugo Alfons Gabriel; Nuydens, Rony Maria; Mercken, Marc Hubert; Ludovici, Donald William  
 PA Janssen Pharmaceutica N.V., Belg.  
 SO PCT Int. Appl., 87 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003037877	A1	20030508	WO 2002-EP12079	20021029
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	CA 2463823	AA	20030508	CA 2002-2463823	20021029
	EP 1442024	A1	20040804	EP 2002-802307	20021029
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002013790	A	20041207	BR 2002-13790	20021029
	JP 2005507420	T2	20050317	JP 2003-540159	20021029
	NO 2004002253	A	20040601	NO 2004-2253	20040601
PRAI	EP 2001-204192	A	20011101		
	WO 2002-EP12079	W	20021029		
OS	MARPAT 138:368902				
GI					



AB Aminobenzamide derivs. (I), N-oxides, pharmaceutically acceptable addition salts, quaternary amines, and stereochem. isomeric forms thereof [wherein ring A = pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl; R1 = H, aryl, CHO, C1-6 alkylcarbonyl, optionally substituted C1-6 alkyl, C1-6 alkyloxycarbonyl, optionally substituted C1-6 alkyloxy-C1-6 alkylcarbonyl; X = a direct bond or a linker atom or group; Z = O, S; R2 = H, each (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, or carbocycle or heterocycle group; R3 = H, HO, halo, each optionally substituted C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, C1-6 alkyloxy, C1-6 alkylthio; C1-6 alkyloxycarbonyl, C1-6 alkylcarbonyloxy, carboxyl, cyano, nitro, amino,

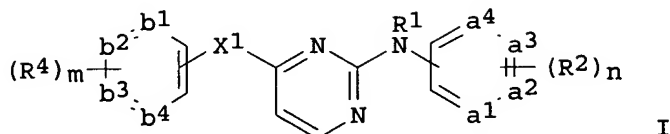
mono- or di(C1-6 alkyl)amino, polyhalo-C1-6 alkyl, polyhalo-C1-6 alkyloxy, polyhalo-C1-6 alkylthio, R21, R21-C1-6 alkyl, R21O, R21S, R21CO, R21S(O)n, NHCHO, CONHNH2, etc.; R4a, R4b = H, R8, Y1-NR9-Y2-NR10R11, Y1-NR9-Y1-R8, Y1-NR9R10; wherein n = 1,2; R21 = (un)substituted monocyclic, bicyclic or tricyclic (partially) saturated carbocycle or heterocycle, monocyclic, bicyclic or tricyclic aromatic carbocycle or heterocycle; Y1, Y2 = a direct bond, a linker group; R8 = C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; R9, R10, R11 = H, R8, etc.; p = 1-3] are prepared These compds. are useful for the prevention or the treatment of diseases mediated through GSK3 including bipolar disorder (in particular manic depression), diabetes, Alzheimer's disease, leukopenia, FTDP-17 (fronto-temporal dementia associated with Parkinson's disease), cortico-basal degeneration, progressive supranuclear palsy, multiple system atrophy, Pick's disease, Niemann Pick's disease type C, Dementia Pugilistica, dementia with tangles only, dementia with tangles and calcification, Down syndrome, myotonic dystrophy, Parkinsonism-dementia complex of Guam, AIDS related dementia, Postencephalic Parkinsonism, prion diseases with tangles, subacute sclerosing panencephalitis, frontal lobe degeneration (FLD), argyrophilic grains disease, subacute sclerotizing panencephalitis (SSPE) (late complication of viral infections in the central nervous system), inflammatory diseases, cancer, dermatol. disorders, neuronal damage, schizophrenia, and pain. Thus, a solution of 2-chloro-5-nitro-N-(phenylmethyl)-4-pyrimidinamine (0.012 mol), 3-aminobenzamide (0.012 mol) and Et3N (0.012 mol) in DMF (50 mL) was stirred for 2 h at 60° to give 77% 3-[[4-benzylamino-5-nitropyrimidin-2-yl]amino]benzamide (II). II and 3-[[4-benzyloxy-pyrimidin-2-yl]amino]benzamide showed pIC50(M) of 6.74 and 5.85, resp., against GSK3β.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2003:154426 CAPLUS  
DN 138:205077  
TI Preparation of pyrimidines as HIV inhibitors.  
IN Guillemont, Jerome Emile Georges; Palandjian, Patrice; De Jonge, Marc Rene; Koymans, Lucien Maria Henricus; Vinkers, Hendrik Maarten; Daeyaert, Frederik Frans Desire; Heeres, Jan; Van Aken, Koen Jeanne Alfons; Lewi, Paulus Joannes; Janssen, Paul Adriaan Jan  
PA Janssen Pharmaceutica N.V., Belg.  
SO PCT Int. Appl., 126 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003016306	A1	20030227	WO 2002-EP8953	20020809
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2452217	AA	20030227	CA 2002-2452217	20020809
	EP 1419152	A1	20040519	EP 2002-764839	20020809
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002011909	A	20040824	BR 2002-11909	20020809
	CN 1541215	A	20041027	CN 2002-815920	20020809

JP 2005507380	T2	20050317	JP 2003-521229	20020809
NZ 530951	A	20051028	NZ 2002-530951	20020809
US 2004198739	A1	20041007	US 2004-485636	20040203
NO 2004000633	A	20040312	NO 2004-633	20040212
ZA 2004001159	A	20050512	ZA 2004-1159	20040212
PRAI EP 2001-203090	A	20010813		
EP 2002-77748	A	20020610		
WO 2002-EP8953	W	20020809		
OS MARPAT 138:205077				
GI				



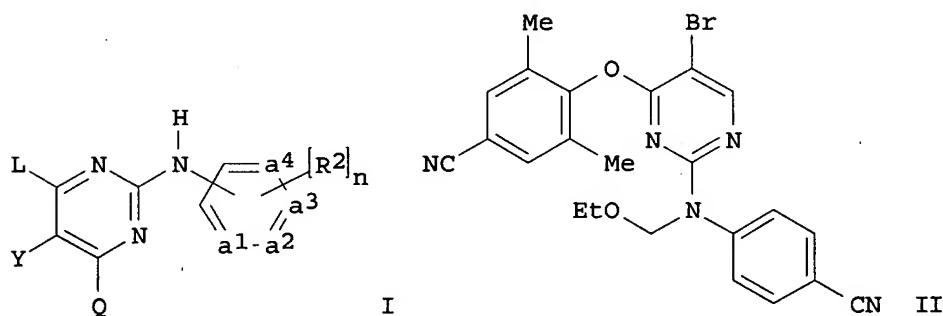
AB Title compds. [I; a1:a2a3:a4, b1:b2b3:b4 = atoms to form Ph, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl rings; n = 0-5; m = 1-4; R1 = H, aryl, CHO, alkylcarbonyl, alkyl, alkyloxycarbonyl, substituted alkyl, alkylcarbonyl; R2 = OH, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, alkoxy carbonyl, carboxyl, cyano, NO<sub>2</sub>, amino, polyhalomethyl, polyhalomethoxy, polyhalomethylthio, SOpR6, NHSOpR6, COR6, NHCOH, CONHNH<sub>2</sub>, NHCOR6, C(:NH)R6, 5-membered heterocycle; X1 = NR5, NHNH, N:N, O, CO, alkanediyl, CH(OH), S, SOp, X2-alkanediyl, alkanediyl-X2; X2 = NR5, NHNH, N:N, O, CO, CH(OH), S, SOp; R3 = NHR13, NR13R14, CONHR13, CONR13R14, COR15, CH:NNHCOR16, substituted alkyl, (substituted) alkoxyalkyl, substituted alkenyl, alkynyl, alkyl substituted with OH and a second substituent, C(:NOR8)-alkyl, R7, X3R7; R4 = halo, OH, alkyl, cycloalkyl, alkoxy, cyano, nitro, polyhaloalkyl, polyhaloalkoxy, aminocarbonyl, alkyloxycarbonyl, alkylcarbonyl, CHO, amino; R5 = H, aryl, CHO, alkylcarbonyl, alkyl, alkoxy carbonyl, etc.; R6 = alkyl, amino, polyhaloalkyl; R7 = mono-, bi-, or tricyclic (aromatic) carbocyclyl, heterocyclyl; R13, R14 = alkyl, alkenyl, alkynyl optionally substituted by cyano, aminocarbonyl; R15 = cyanoalkyl, aminocarbonylalkyl; R16 = R15, R7; p = 1, 2], were prepared Thus, 4-[(4-chloro-2-pyrimidinyl)amino]benzonitrile (preparation given) and 4-(2-cyanoethenyl)-2,6-dimethylaniline were stirred together at 150° for 1 h to give 4-[[4-[(4-(2-cyanoethenyl)-2,6-dimethylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile. The latter inhibited HIV-induced cytopathic effect in MT-4 cells with pIC<sub>50</sub> = 9.4.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2001:833289 CAPLUS  
DN 135:371756  
TI Preparation of prodrugs of HIV replication inhibiting pyrimidines  
IN Kukla, Michael Joseph; Ludovici, Donald William; Kavash, Robert W.; De Corte, Bart Lieven Daniel; Heeres, Jan; Janssen, Paul Adriaan Jan; Koymans, Lucien Maria Henricus; De Jonge, Marc Rene; Van Aken Koen, Jeanne Alfons; Krief, Alain  
PA Janssen Pharmaceutica N.V., Belg.  
SO PCT Int. Appl., 55 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2001085699	A2	20011115	WO 2001-EP4990	20010503

WO 2001085699 A3 20020228  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
CA 2407754 AA 20011115 CA 2001-2407754 20010503  
AU 2001060277 A5 20011120 AU 2001-60277 20010503  
AU 782948 B2 20050915  
EP 1282607 A2 20030212 EP 2001-933925 20010503  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
JP 2003532713 T2 20031105 JP 2001-582300 20010503  
US 2003186990 A1 20031002 US 2002-275333 20021107  
US 2006009474 A1 20060112 US 2005-225839 20050913  
PRAI US 2000-202471P P 20000508  
WO 2001-EP4990 W 20010503  
US 2002-275333 A3 20021107  
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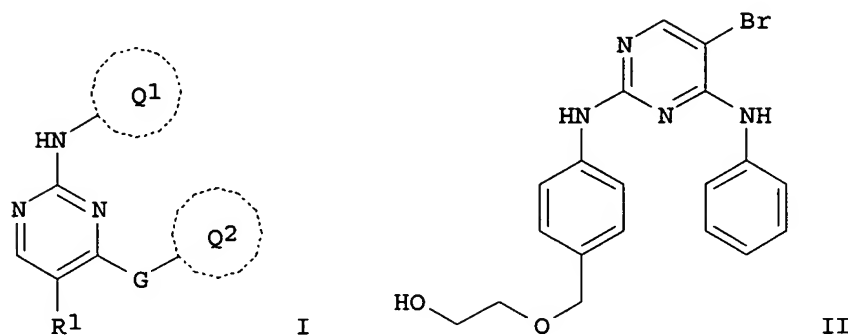
AB The title compds. A1A2NR1 [I; R1 = alkyl, SOR8, SO2R8, etc.; R8 = alkyl, (un)substituted Ph, (un)saturated heterocyclyl; A1A2N- is the covalently bonded form of the corresponding intermediate of the formula A1A2NH, which is a HIV replication inhibiting pyrimidine II (wherein a1:a2a3:a4 = CH:CHCH:CH, N:CHCH:CH, N:CHN:CH, N:CHCH:N, N:NCH:CH; n = 0-5; R2 = OH, halo, alkyl, etc.; L = alkyl, alkenyl, cycloalkyl, etc.; Q = H, alkyl, halo, etc.; Y = H, OH, halo, etc.)], were prepared Thus, reacting 4-{[5-bromo-4-(4-cyano-2,6-dimethylphenoxy)-2-pyrimidinyl]amino}benzonitrile (preparation given) with (chloromethoxy)ethane in the presence of NaH in THF afforded 19% III. Anti-HIV activity of compds. I was tested and results were given.

L4 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2001:661404 CAPLUS  
DN 135:227011  
TI Preparation of 2,4-di(hetero)arylamino(oxy)-5-substituted pyrimidines as antineoplastic agents  
IN Pease, Elizabeth Janet; Williams, Emma Jane; Bradbury, Robert Hugh; Pearson, Stuart Eric  
PA Astrazeneca Ab, Swed.; Astrazeneca Uk Ltd.  
SO PCT Int. Appl., 64 pp.  
CODEN: PIXXD2  
DT Patent

10/523,753

LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001064656	A1	20010907	WO 2001-GB829	20010226
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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	EP 1278735	A1	20030129	EP 2001-906021	20010226
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	BR 2001008879	A	20030429	BR 2001-8879	20010226
	JP 2003525279	T2	20030826	JP 2001-563498	20010226
	NZ 520502	A	20040528	NZ 2001-520502	20010226
	ZA 2002006192	A	20031126	ZA 2002-6192	20020802
	US 2003181474	A1	20030925	US 2002-203025	20020805
	US 6838464	B2	20050104		
	NO 2002004126	A	20020829	NO 2002-4126	20020829
	JP 2005041878	A2	20050217	JP 2004-245381	20040825
	US 2005090515	A1	20050428	US 2004-995931	20041124
PRAI	GB 2000-4887	A	20000301		
	JP 2001-563498	A3	20010226		
	WO 2001-GB829	W	20010226		
	US 2002-203025	A1	20020805		
OS	MARPAT 135:227011				
GI					

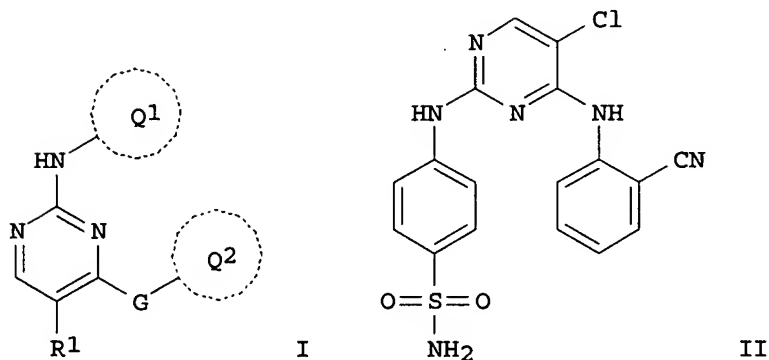


AB The title compds. [I; Q1, Q2 = (un)substituted aryl, carbon linked heteroaryl; one of Q1 and Q2 or both is substituted on a ring carbon by one substituent selected from N-(di)alkylamino, Ph, heterocycllyl, etc.; G = O, NR<sub>2</sub>; R<sub>2</sub> = H, alkyl, alkenyl, etc.; R<sub>1</sub> = H, halo, OH, etc.] and their pharmaceutically acceptable salts, useful as cyclin-dependent serine/threonine kinase (CDK) and focal adhesion kinase (FAK) inhibitors, were prepared and formulated. Thus, reacting 4-anilino-5-bromo-2-chloropyrimidine with 4-aminobenzyl alc. in the presence of ethereal HCl in BuOH/MeOH followed by treatment of the intermediate with ethylene glycol afforded 19% II which showed IC<sub>50</sub> of 0.679  $\mu$ M when tested in vitro assay for the CDK4 inhibitory activity.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2001:661402 CAPLUS  
 DN 135:227009  
 TI Preparation of pyrimidin-2-amines as cyclin-dependent serine/threonine  
 kinase (CDK) inhibitors  
 IN Pease, Elizabeth Janet; Breault, Gloria Anne; Morris, Jeffrey James  
 PA Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SO PCT Int. Appl., 63 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001064654	A1	20010907	WO 2001-GB782	20010226
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2399196	AA	20010907	CA 2001-2399196	20010226
	EP 1272477	A1	20030108	EP 2001-905990	20010226
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001008841	A	20030506	BR 2001-8841	20010226
	JP 2003525277	T2	20030826	JP 2001-563496	20010226
	AU 765151	B2	20030911	AU 2001-33953	20010226
	NZ 520394	A	20040430	NZ 2001-520394	20010226
	ZA 2002006191	A	20031103	ZA 2002-6191	20020802
	US 2003149064	A1	20030807	US 2002-220139	20020828
	NO 2002004154	A	20021028	NO 2002-4154	20020830
PRAI	GB 2000-4888	A	20000301		
	WO 2001-GB782	W	20010226		
OS	MARPAT 135:227009				
GI					

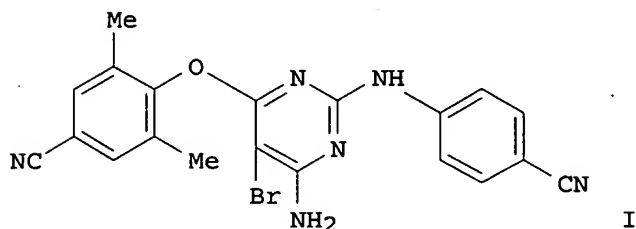


AB The title compds. [I; Q1, Q2 = (un)substituted aryl, carbon linked heteroaryl; one of Q1 and Q2 or both is substituted on a ring carbon by sulfamoyl, N-alkylsulfamoyl, alkylsulfonyl, etc.; G = O, S, NR2; R1 = H,

halo, OH, etc.; R2 = H, alkyl, alkenyl, etc.], useful for their anticancer activity, were prepared and formulated. Thus, reacting 2,5-dichloro-4-(2-cyanoanilino)pyrimidine with sulfanilamide in BuOH afforded II which showed IC50 of 0.347  $\mu$ M when tested in vitro assay for the CDK2 inhibitory activity.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2001:628977 CAPLUS  
DN 135:371702  
TI Evolution of anti-HIV drug candidates. Part 3: diarylpyrimidine (DAPY) analogues  
AU Ludovici, D. W.; De Corte, B. L.; Kukla, M. J.; Ye, H.; Ho, C. Y.; Lichtenstein, M. A.; Kavash, R. W.; Andries, K.; de Bethune, M.-P.; Azijn, H.; Pauwels, R.; Lewi, P. J.; Heeres, J.; Koymans, L. M. H.; de Jonge, M. R.; Van Aken, K. J. A.; Daeyaert, F. F. D.; Das, K.; Arnold, E.; Janssen, P. A. J.  
CS Janssen Research Foundation, Spring House, PA, 19477, USA  
SO Bioorganic & Medicinal Chemistry Letters (2001), 11(17), 2235-2239  
CODEN: BMCLE8; ISSN: 0960-894X  
PB Elsevier Science Ltd.  
DT Journal  
LA English  
OS CASREACT 135:371702  
GI



AB The synthesis and anti-HIV-1 activity of a series of diarylpyrimidines (DAPYs) are described. Several members, e.g. (I), of this novel class of non-nucleoside reverse transcriptase inhibitors (NNRTIs) are extremely potent against both wild-type and a panel of clin. significant single- and double-mutant strains of HIV-1.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2001:617995 CAPLUS  
DN 135:180783  
TI Preparation of arylaminopyrimidines as Kinase inhibitors  
IN Armistead, David M.; Bemis, Jean E.; Di Pietro, Lucian V.; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Kim, Joseph L.; Nunes, Joseph J.; Patel, Vinod F.; Toledo-Sherman, Leticia M.  
PA Amgen Inc., USA  
SO PCT Int. Appl., 91 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

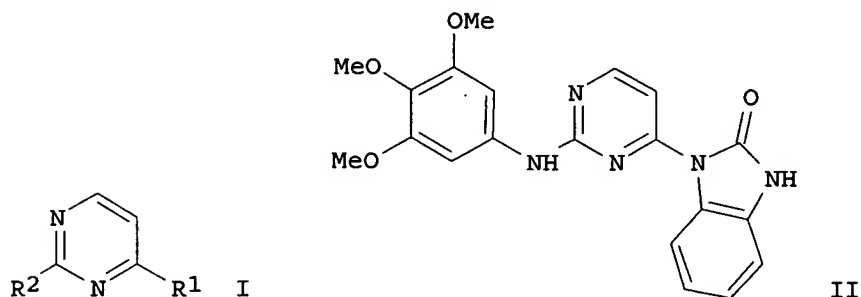
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2001060816	A1	20010823	WO 2001-US4983	20010216

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2400447	AA	20010823	CA 2001-2400447	20010216
US 2002052386	A1	20020502	US 2001-785599	20010216
US 2003004174	A9	20030102		
EP 1257546	A1	20021120	EP 2001-909266	20010216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003532635	T2	20031105	JP 2001-560200	20010216
ZA 2002006386	A	20031126	ZA 2002-6386	20020812
US 2003199534	A1	20031023	US 2003-353507	20030128
US 2005203114	A1	20050915	US 2005-125614	20050509
PRAI US 2000-183256P	P	20000217		
US 2001-785599	A	20010216		
WO 2001-US4983	W	20010216		
US 2003-353507	A1	20030128		

OS MARPAT 135:180783  
GI



AB Arylamino pyrimidines I wherein R<sup>1</sup> and R<sup>2</sup> are independently aryl, 5-8 membered monocyclic, 11-14 membered bicyclic, 1-9-heteroatoms tricyclic, substituted amine, sulfide, alkoxy, acyl, heterocycle, were prepared as Kinase inhibitors useful for treating disease or disease symptoms. Thus, pyrimidine II was prepared and tested in vitro as kinases inhibitor (FGFR1-1, IC<sub>50</sub> < 1.5 μM).

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:247156 CAPLUS

DN 134:280865

TI Preparation of azinylaminobenzonitriles and related compounds as virucides.

IN Verreck, Geert; Baert, Lieven

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DT Patent

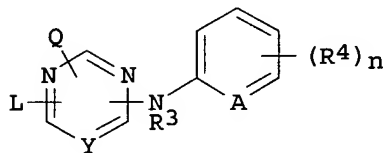
LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2001022938 A1 20010405 WO 2000-EP8522 20000831  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
CA 2384188 AA 20010405 CA 2000-2384188 20000831  
BR 2000014271 A 20020521 BR 2000-14271 20000831  
EP 1225874 A1 20020731 EP 2000-964080 20000831  
EP 1225874 B1 20060201  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL  
JP 2003510264 T2 20030318 JP 2001-526150 20000831  
EE 200200151 A 20030415 EE 2002-151 20000831  
NZ 517025 A 20030725 NZ 2000-517025 20000831  
TR 200200763 T2 20030922 TR 2002-200200763 20000831  
AU 775360 B2 20040729 AU 2000-75127 20000831  
AT 316781 E 20060215 AT 2000-964080 20000831  
BG 106521 A 20021229 BG 2002-106521 20020314  
ZA 2002002289 A 20030620 ZA 2002-2289 20020320  
NO 2002001443 A 20020322 NO 2002-1443 20020322  
PRAI EP 1999-203128 A 19990924  
WO 2000-EP8522 W 20000831  
OS MARPAT 134:280865  
GI



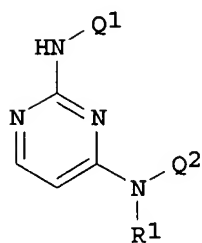
AB A particle consisting of a solid dispersion comprising  $\geq 1$  pharmaceutically acceptable H<sub>2</sub>O-soluble polymers and a title compound, e.g., [I; Y = CR<sub>5</sub>, N; A = CH, CR<sub>4</sub>, N; n = 0-4; Q = NR<sub>1</sub>R<sub>2</sub>, H; R<sub>1</sub>, R<sub>2</sub> = H, OH, (substituted) alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, aryl, etc.; or R<sub>1</sub>R<sub>2</sub> = atoms to form pyrrolidinyl, piperidinyl, morpholinyl, azido, alkylaminoalkylidene; R<sub>3</sub> = H, aryl, alkylcarbonyl, alkyl, alkoxycarbonyl, alkoxycarbonylalkyl; R<sub>4</sub> = OH, halo, alkyl, alkoxy, cyano, aminocarbonyl, NO<sub>2</sub>, amino, trihalomethyl, trihalomethoxy, etc.; R<sub>5</sub> = H, alkyl; L = X<sub>1</sub>R<sub>6</sub>, X<sub>2</sub>AR<sub>7</sub>, etc.; R<sub>6</sub>, R<sub>7</sub> = (substituted) Ph, indanyl, indolyl; X<sub>1</sub>, X<sub>2</sub> = NR<sub>3</sub>, NHNH, N:N, O, S, SO, SO<sub>2</sub>; A = C<sub>1-4</sub> alkylene; with provisos], is claimed. Thus, 5-bromo-2-chloro-N-(2,4,6-trimethylphenyl)-4-pyrimidineamine (preparation given) was stirred with HCl in Et<sub>2</sub>O followed by evaporation of solvent, addition of 4-aminobenzonitrile and dioxane, and reflux for 4 days to give 2% 4-[[5-chloro-2-[(2,4,6-trimethylphenyl)amino]-4-pyrimidinyl]amino]benzonitrile. Tested title compds. showed anti-HIV activity with IC<sub>50</sub> = 0.0004-0.030  $\mu$ M. A title compound melt extrudate was prepared using hydroxypropyl methylcellulose with no degradation of the active ingredient.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

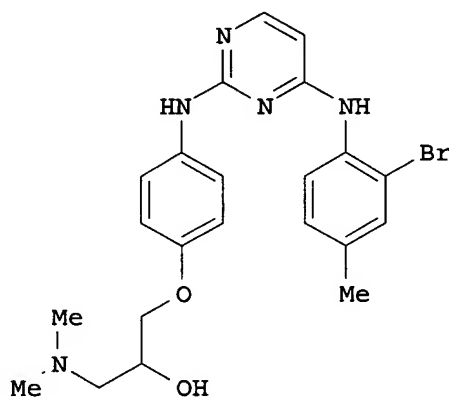
10/523,753

AN 2000:161263 CAPLUS  
DN 132:194385  
TI Preparation of bis(arylamino)pyrimidine derivatives as anticancer agents  
IN Breault, Gloria Anne; Pease, Janet Elizabeth  
PA Zeneca Limited, UK  
SO PCT Int. Appl., 112 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012485	A1	20000309	WO 1999-GB2790	19990824
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9954382	A1	20000321	AU 1999-54382	19990824
	EP 1107957	A1	20010620	EP 1999-940401	19990824
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002523497	T2	20020730	JP 2000-567515	19990824
	US 2005090493	A1	20050428	US 2004-771118	20040204
PRAI	GB 1998-18989	A	19980829		
	GB 1998-28433	A	19981224		
	WO 1999-GB2790	W	19990824		
	US 2001-763705	B1	20010226		
OS	MARPAT 132:194385				
GI					



I



II

AB The title compds. (I) [wherein R1 = H or (un)substituted alkyl, alkenyl or alkynyl; Q1 and Q2 = independently (un)substituted Ph, naphthyl, indanyl, or 1,2,3,4-tetrahydronaphthyl, and one or both of Q1 and Q2 is substituted with -X(CH2)nCHY(CH2)mZ; X = CH2, O, S, or NH; Y = H or as defined for Z; Z = OH, SH, NH2, alkoxy, alkylthio, (cyclo)alkylamino, or dialkylamino; n = 1-3; m = 1-3] were prepared as cyclin dependent kinase (CDK) and focal adhesion kinase (FAK) inhibitors. Examples include over 100 syntheses, descriptions of a number of biol. assays with some data, and 7 pharmaceutical formulations. For instance, 2-chloro-4-(2-bromo-4-methylanilino)pyrimidine (preparation given) was coupled with

4-[3-(N,N-dimethylamino)-2-hydroxypropoxy]aniline (preparation given) in BuOH to give II. The latter inhibited CDK4 with IC50 = 0.6  $\mu$ M and FAK with IC50 = 3.3  $\mu$ M. Typical IC50 values for compds. of the invention when tested in the Sulforhodamine B (SRB) cell growth inhibition assay were in the range of 1 mM to 1 nM. I and their pharmaceutically-acceptable salts and in-vivo-hydrolyzable esters are useful as anticancer agents, antiproliferatives, cell migration inhibitors, and apoptotic agents.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:640840 CAPLUS

DN 131:257576

TI Preparation of HIV inhibiting pyrimidine derivatives

IN Andries, Koenraad Jozef Lodewijk Marcel; De Corte, Bart; De Jonge, Marc Rene; Heeres, Jan; Ho, Chih Yung; Janssen, Marcel August Constant; Janssen, Paul Adriaan Jan; Koymans, Lucien Maria Henricus; Kukla, Michael Joseph; Ludovici, Donald William; Van Aken, Koen Jeanne Alfons

PA Janssen Pharmaceutica N.V., Belg.; et al.

SO PCT Int. Appl., 52 pp.

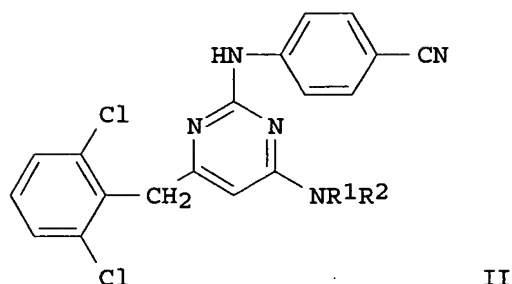
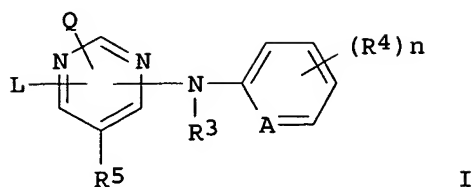
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9950250	A1	19991007	WO 1999-EP2043	19990324
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 945442	A1	19990929	EP 1998-201587	19980514
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CA 2324919	AA	19991007	CA 1999-2324919	19990324
	AU 9935996	A1	19991018	AU 1999-35996	19990324
	AU 751573	B2	20020822		
	BR 9909191	A	20001205	BR 1999-9191	19990324
	EE 200000532	A	20020215	EE 2000-532	19990324
	JP 2002509920	T2	20020402	JP 2000-541155	19990324
	JP 3507917	B2	20040315		
	HR 2000000620	A1	20010630	HR 2000-620	20000919
	NO 2000004810	A	20000926	NO 2000-4810	20000926
	NO 317424	B1	20041025		
PRAI	US 1998-79632P	P	19980327		
	EP 1998-201587	A	19980514		
	EP 1998-203948	A	19981125		
	WO 1999-EP2043	W	19990324		
OS	MARPAT 131:257576				
GI					



AB This invention concerns the use of the N oxides, the pharmaceutically acceptable addition salts and the stereochem. isomeric forms of title compds I [A = CH, CR<sup>4</sup> or N; n = 0 - 4; Q = hydrogen or NR<sup>1</sup>R<sup>2</sup>; R<sup>1</sup>, R<sup>2</sup> = H, OH, C1-12alkyl, C1-12alkyloxy, C1-12alkylcarbonyl, C1-12alkyloxycarbonyl, aryl, amino, mono or di(C1-12alkyl)amino, mono or di(C1-12alkyl)aminocarbonyl wherein each C1-12alkyl may optionally be substituted; or R<sup>1</sup> and R<sup>2</sup> taken together may form pyrrolidinyl, piperidinyl, morpholinyl, azido or mono or di(C1-12alkyl)aminoC1-4alkylidene; R<sup>3</sup> = hydrogen, aryl, C1-6alkylcarbonyl, optionally substituted C1-6alkyl, C1-6alkyloxycarbonyl; and R<sup>4</sup> = OH, halo, optionally substituted C1-6alkyl, C1-6alkyloxy, CN, aminocarbonyl, NO<sub>2</sub>, NH<sub>2</sub>, trihalomethyl, trihalomethyloxy; R<sup>5</sup> = hydrogen or C1-4alkyl; L is optionally substituted C1-10alkyl, C3-10alkenyl, C3-10alkynyl, C3-7cycloalkyl; or L = X<sup>1</sup>-R<sup>6</sup> or X<sup>2</sup>-Alk-R<sup>7</sup> wherein R<sup>6</sup> and R<sup>7</sup> are optionally substituted phenyl; X<sup>1</sup>, X<sup>2</sup> = NR<sup>3</sup>, NHNH, N:N, O, S, S(=O) or S(=O)<sub>2</sub>; Alk = C1-4alkanediyl; aryl = optionally substituted phenyl; Het = an optionally substituted aliphatic or aromatic heterocyclic radical] for the manufacture of

a medicine for the treatment of subjects suffering from HIV (Human Immunodeficiency Virus) infection. It further relates to new compds. being a subgroup of the compds. of formula I, their preparation and compds. comprising them. Formulations are given. The title compound II in vitro showed IC<sub>50</sub> of 0.003μM against HIV-1 virus.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:631415 CAPLUS

DN 131:257575

TI Preparation of arylaminopyrimidines for treatment of human immunodeficiency virus infection.

IN Andries, Koenraad Jozef Lodewijk Marcel; De Corte, Bart; De Jonge, Marc Rene; Heeres, Jan; Ho, Chih Yung; Janssen, Marcel August Constant; Janssen, Paul Adriaan Jan; Koymans, Lucien Maria Henricus; Kukla, Michael Joseph; Ludovici, Donald William; Van Aken, Koen Jeanne Alfons

PA Janssen Pharmaceutica N.V., Belg.

SO Eur. Pat. Appl., 38 pp.

CODEN: EPXXDW

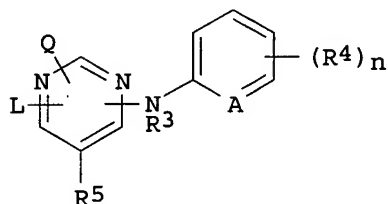
DT Patent

10/523,753

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 945443	A1	19990929	EP 1999-200918	19990324
	EP 945443	B1	20030212		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EP 945442	A1	19990929	EP 1998-201587	19980514
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EP 1245567	A1	20021002	EP 2002-14566	19990324
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRAI	US 1998-79632P	P	19980327		
	EP 1998-201587	A	19980514		
	EP 1998-203948	A	19981125		
	EP 1999-200918	A3	19990324		
OS	MARPAT 131:257575				
GI					



AB Use of title compds. [I; A = CH, CR<sub>4</sub>, N; n = 0-4; Q = H, NR<sub>1</sub>R<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = H, OH, alkyl, alkyloxy, alkylcarbonyl, alkyloxycarbonyl, aryl, amino, etc.; R<sub>1</sub>R<sub>2</sub>N = pyrrolidinyl, piperidinyl, morpholinyl, N<sub>3</sub>, diaminoalkylidene; R<sub>3</sub> = H, aryl, alkylcarbonyl, (substituted) alkyl, alkyloxycarbonyl; R<sub>4</sub> = OH, halo, (substituted) alkyl, alkyloxy, cyano, aminocarbonyl, NO<sub>2</sub>, amino, trihalomethyl, trihalomethyloxy; R<sub>5</sub> = H, alkyl; L = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, etc.] for the manufacture of a medicine for the treatment of HIV (Human Immunodeficiency Virus) infection is claimed. 4-[(4-Chloro-2-pyrimidinyl)amino]benzonitrile, 2,6-dibromo-4-methylbenzeneamine, and HCl in Et<sub>2</sub>O were heated at 170° in dioxane in a sealed tube to give 15.9% 4-[[4-[(2,6-dibromo-4-methylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile. The latter showed IC<sub>50</sub> = 0.0007 μM for protection of MT-4 cells against HIV-1 infection.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:457074 CAPLUS

DN 127:81461

TI Preparation of substituted 2-anilinopyrimidines as protein kinase inhibitors

IN Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive

PA Celltech Therapeutics Limited, UK; Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive

SO PCT Int. Appl., 83 pp.

CODEN: PIXXD2

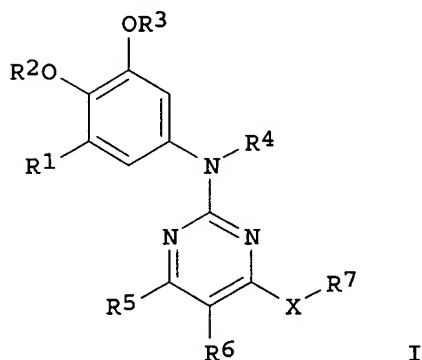
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9719065	A1	19970529	WO 1996-GB2854	19961120
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5958935	A	19990928	US 1996-753041	19961119
	AU 9676314	A1	19970611	AU 1996-76314	19961120
	EP 862560	A1	19980909	EP 1996-939171	19961120
	EP 862560	B1	20030402		
	R: CH, DE, ES, FR, GB, IT, LI				
	ES 2195020	T3	20031201	ES 1996-939171	19961120
	US 6235746	B1	20010522	US 1999-249760	19990216
PRAI	GB 1995-23675	A	19951120		
	US 1996-753041	A3	19961119		
	WO 1996-GB2854	W	19961120		
OS	MARPAT 127:81461				
GI					



AB The title compds. [I; R1 = H, halo, (un)substituted alkyl, etc.; R2, R3 = (un)substituted alkyl, alkenyl, alkynyl; R4 = H, alkyl; R5 = H, (un)substituted alkyl, alkenyl, alkynyl; R6 = H, halo, (un)substituted NH<sub>2</sub>, etc.; X = a direct bond, a linker atom, group; R7 = (un)substituted aliphatic, cycloaliph., heteroaliph., heterocycloaliph., aromatic or heteroarom.

group], selective protein kinase inhibitors, particularly the kinases p56lck, p59fyn, ZAP-70 and protein kinase C, and useful in the prophylaxis and treatment of immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to have a role, were prepared. Thus, treatment of 4-[3-(3-phthalimidopropoxy)phenyl]-N-(3,4,5-trimethoxyphenyl)-2-pyrimidineamine with N<sub>2</sub>H<sub>4</sub>·H<sub>2</sub>O in EtOH afforded I·2HCl [R1 = MeO; R2, R3 = Me; R4-R6 = H; R7 = H<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>; X = O] which showed IC<sub>50</sub> of 22 nM in the protein kinase assay.

L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1984:139059 CAPLUS

DN 100:139059

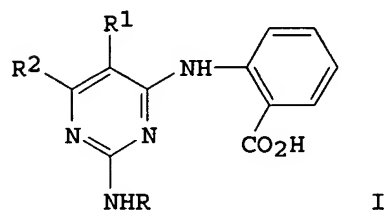
TI Synthesis and antiinflammatory properties of o-carboxyphenylaminopyrimidines

AU Karp, V. K.; Tat'yanchenko, I. S.; Portnyagina, V. A.; Mokhort, N. A.; Ryabukha, T. K.; Vinnikova, A. V.

CS Kiev. Nauchno-Issled. Inst. Farmakol. Toksikol., Kiev, USSR

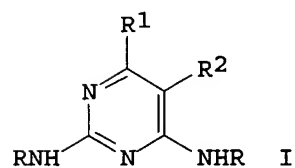
10/523,753

SO Khimiko-Farmatsevticheskii Zhurnal (1983), 17(11), 1304-7  
CODEN: KHFZAN; ISSN: 0023-1134  
DT Journal  
LA Russian  
OS CASREACT 100:139059  
GI



AB The title compds. I (R = Ph, NH<sub>2</sub>, R<sub>1</sub> = R<sub>2</sub> = H; R = o-HO<sub>2</sub>CC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = Br, H, R<sub>2</sub> = Me, R<sub>1</sub> = H, R<sub>2</sub> = CO<sub>2</sub>H, Cl), useful as inflammation inhibitors, were prepared by amination of the corresponding chloropyrimidine with PhNH<sub>2</sub>, N<sub>2</sub>H<sub>4</sub>.H<sub>2</sub>O, or o-H<sub>2</sub>NCC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>H. I (R = o-HO<sub>2</sub>CC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = Br, R<sub>2</sub> = Me) reduced edema 53 ± 7.4% in the rat paw test.

L4 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1981:497712 CAPLUS  
DN 95:97712  
TI 2,4-Bis(arylamino)-6-methylpyrimidines as antimicrobial agents  
AU Ghosh, Dolly  
CS Dep. Chem., Bose Inst., Calcutta, 700 009, India  
SO Journal of the Indian Chemical Society (1981), 58(5), 512-13  
CODEN: JICSAH; ISSN: 0019-4522  
DT Journal  
LA English  
GI



AB Pyrimidines I (R = aryl, R<sub>1</sub> = Me, H; R<sub>2</sub> = H, Me) were synthesized. All were tested against some gram-pos. and gram-neg. bacteria and Candida albicans. 2,4-Bis(p-chloroanilino)- and 2,4-bis(p-bromoanilino)pyrimidine derivs. possess significant activity.

L4 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1980:58446 CAPLUS  
DN 92:58446  
TI Complexes of bivalent copper and compositions containing said complexes  
IN Boettcher, Barry; Walker, William Raymond; Whitehouse, Michael Wellesley  
PA Australia  
SO Eur. Pat. Appl., 22 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 2341	A1	19790613	EP 1978-300671	19781127
	EP 2341	B1	19820120		
	R: BE, CH, DE, FR, GB, LU, NL, SE				
	AU 7841830	A1	19790628	AU 1978-41830	19771128
	AU 520726	B2	19820225		
	JP 54090121	A2	19790717	JP 1978-146421	19781127
	JP 63031473	B4	19880623		
	JP 63159316	A2	19880702	JP 1987-304372	19871201
	JP 01037374	B4	19890807		
PRAI	AU 1977-2584		19771128		
	AU 1978-5533		19780816		
OS	MARPAT 92:58446				
AB	Inflammation-inhibiting neutral Cu complexes Cu[O <sub>2</sub> CC <sub>6</sub> H <sub>4</sub> R]2R <sub>1</sub> OH [I; R = OH, SH, SeH, NH <sub>2</sub> or NHR <sub>3</sub> , where R <sub>3</sub> = 2,3-Me <sub>2</sub> C <sub>6</sub> H <sub>3</sub> , 2-chloro-4-pyrimidinyl, or 4-(2-carboxyanilino)-2-pyrimidinyl, which may be further substituted by CO <sub>2</sub> H groups in the 3 and/or 4 position of the anilino groups; R <sub>1</sub> OH = an alc.] were prepared Thus, Cu(OH) <sub>2</sub> added to 2-HOC <sub>6</sub> H <sub>4</sub> CO <sub>2</sub> H in anhydr. EtOH gave I (R = 2-OH, R <sub>1</sub> = Et), topical application of which to rats paws effectively inhibited Na carrageenan-induced inflammation.				
L4	ANSWER 31 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN				
AN	1978:436533 CAPLUS				
DN	89:36533				
TI	Catalytic activity of complexes of copper(II) with carboxyphenylaminopyrimidines (antiinflammatory drugs) in model reactions of oxidase and catalase type				
AU	Grigor'eva, A. S.; Kriss, E. E.; Lazur, S. P.; Mikhalovskii, S. V.; Portnyagina, V. A.; Mokhort, N. A.; Karp, V. K.; Barkova, I. S.; Kocharovskii, B. A.; et al.				
CS	Inst. Fiz. Khim. im. Pisarzhevskogo, Kiev, USSR				
SO	Khimiko-Farmatsevticheskii Zhurnal (1978), 12(4), 7-18				
	CODEN: KHFZAN; ISSN: 0023-1134				
DT	Journal				
LA	Russian				
AB	In a model reaction of the catalase type, the activity of the studied Cu(II) complexes (1:1) decreased in the following order: Cu(II)-2-chloro-4-(o-carboxyphenylamino)pyrimidine (I) ≈ Cu(II)-N-2,3-dimethylphenylanthranilic acid (II) > Cu <sup>2+</sup> > Cu(II)-2,4-di(p-carboxyphenylamino)pyrimidine (III) > Cu(II)-2,4-di(m-carboxyphenylamino)pyrimidine (IV) ≥ Cu(II)-2,4-di(o-carboxyphenylamino)pyrimidine (V). The oxidase activity of these complexes decreased in the following order : V > I > II > IV > III > Cu <sup>2+</sup> . The antiinflammatory activity of carboxyphenylaminopyrimidines was related to their interaction with Cu in ceruloplasmin.				
L4	ANSWER 32 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN				
AN	1970:499179 CAPLUS				
DN	73:99179				
TI	Synthesis of certain esters of pteroylglutamic acid analogs structurally related to antimetabolite anticancer compounds				
AU	El-Kerdawy, M. M.; Abou Ouf, A. A.; Abou-Zeid, Y. M.				
CS	Fac. Pharm., Cairo Univ., Cairo, Egypt				
SO	Journal of Pharmaceutical Sciences of the United Arab Republic (1968), 9, 1-6				
	CODEN: JPUAAY; ISSN: 0022-3557				
DT	Journal				
LA	English				
AB	I (R = Et, Pr, Bu, amyl) were prepared by refluxing I (R = H) with the absolute alcs. in the presence of concentrated H <sub>2</sub> SO <sub>4</sub> and basifying the ester sulfate salts with NaHCO <sub>3</sub> .				



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L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1970:435322 CAPLUS  
DN 73:35322  
TI Reaction of uracils with phosphoric acid amides  
AU Arutyunyan, E. A.; Gunar, V. I.; Zav'yalov, S. I.  
CS Inst. Org. Khim. im. Zelinskogo, Moscow, USSR  
SO Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1970), (4), 904-9  
CODEN: IASKA6; ISSN: 0002-3353  
DT Journal  
LA Russian  
GI For diagram(s), see printed CA Issue.  
AB Amine-HCl and POCl<sub>3</sub> catalyze the reactions of uracils with amides of phosphoric acid in which possibly the carbonyl forms of uracils take part through a 4-center reaction intermediate. Heating uracil with Me<sub>2</sub>NH.HCl and (Me<sub>2</sub>N)<sub>3</sub>PO 1 hr at 235° gave 75% 2,4-bis(dimethylamino)pyrimidine, m. 38-41°; without Me<sub>2</sub>NH.HCl the yield was but 56% in 2.5 hr. Thymine and (Me<sub>2</sub>N)<sub>3</sub>PO in 1.5 hr at 220° gave 67% 2,4-bis(dimethylamino)-5-methylpyrimidine, m. 53-5° (picrate m. 176-7°). 6-Methyluracil similarly in the presence of Me<sub>2</sub>NH.HCl was converted in 10 min at 240° into 85% 2,4-bis(dimethylamino)-6-methylpyrimidine, b1 5-2 84-5°; picrate m. 181-2°. 6-Methyluracil and OP(NHC<sub>6</sub>H<sub>13</sub>)<sub>3</sub> in 0.5 hr at 235° gave 81% 2,4-bis(hexylamino)-6-methylpyrimidine, b1 174-6°; picrate m. 144-5°. Similarly were prepared 78% 2,6-dimethyl-4-dimethylaminopyrimidine, b13 105-7° (picrate m. 170-1°); and 64% 2,4-bis(diethylamino)-6-methylpyrimidine, b3 118-20°. Cyanuric acid, Me<sub>2</sub>NH.HCl, and OP(NMe<sub>2</sub>)<sub>3</sub> in 1 hr at 230° gave 44% 1,3,6-tris(dimethylamino)sym-triazine, m. 167-70°. Orotic acid gave 28% oily 2,4-bis(dimethylamino)-6-(N-dimethylcarbamido)pyrimidine; picrate m. 194-6°. PhOP(O)(NH<sub>2</sub>)<sub>2</sub> and 6-methyluracil in 1 hr at 220° gave 21% 2,4-diamino-6-methylpyrimidine, decomposed 287°, along with 8% 6-methyl-2-amino-4-oxopyrimidine, m. 282-4°, and 3% 6-methyl-4-amino-2-oxopyrimidine picrate, m. 272-3°. Cytosine and OP(NHPh)<sub>3</sub> in 0.5 hr at 235° gave 20% 2,4-dianilinopyrimidine, m. 232-4°, and 6% 2-anilino-4-aminopyrimidine, m. 254-6°. 2,4-Dichloro-6-methylpyrimidine and P(NMe<sub>2</sub>)<sub>3</sub> in 1 hr at 160° gave a little 2,4-bis(dimethylamino)-6-methylpyrimidine; picrate m. 166-8°. K or Ag salts of 2,6-dimethyl-4-hydroxypyrimidine treated with (PhO)<sub>2</sub>POCl in MePh at reflux 15 hr gave either I or II, which were partly crystalline, and treated with Me<sub>2</sub>NH gave 2,6-dimethyl-4-hydroxypyrimidine.

=> log y

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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